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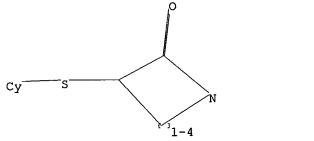
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5—4—6

chain nodes: 3 4 5

ring nodes:

1 2 6 7 chain bonds:

1-3 4-5 4-6

ring bonds: 1-2 1-6 2-7 6-7

exact/norm bonds:

1-3 1-2 1-6 2-7 4-5 4-6 6-7

isolated ring systems :

containing 1:

Match level:

1:Atom 2:Atom 3:CLASS 4:CLASS 5:Atom 6:Atom 7:Atom

Generic attributes :

5:

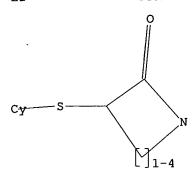
Type of Ring System : Polycyclic

=> dis 11

L1 HAS NO ANSWERS

1.1

STR



Structure attributes must be viewed using STN Express query preparation.

=> s 11 sam

SAMPLE SEARCH INITIATED 10:21:57 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 865 TO ITERATE

100.0% PROCESSED

865 ITERATIONS

10 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS:

15536 TO 19064

PROJECTED ANSWERS:

11 TO 389

L2

10 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 10:22:03 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 17770 TO ITERATE

100.0% PROCESSED 17770 ITERATIONS

389 ANSWERS

SEARCH TIME: 00.00.01

L3

389 SEA SSS FUL L1

=> file hcaplus

COST IN U.S. DOLLARS

SINCE FILE TOTAL

> SESSION ENTRY

155.42 155.63

FULL ESTIMATED COST

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13

L4 31 L3

=> s 14 and pd< mar 2001 21363466 PD< MAR 2001 (PD<20010300)

L5 26 L4 AND PD< MAR 2001

=> sel hit rn 15 1-26 E1 THROUGH E88 ASSIGNED

=> dis 15 1-26 bib abs hitstr

L5 ANSWER 1 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 2001:133871 HCAPLUS

DN 134:185890

TI Silver halide color photographic material with excellent storage stability and photographic properties

IN Kawabe, Satomi; Hoshino, Hiroyuki

Ι

PA Konica Co., Japan

SO Jpn. Kokai Tokkyo Koho, 121 pp. CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

| FAN. | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE | | |
|------------------------|--|------|----------|-----------------|------------|--|--|
| PI
PRAI
OS
GI | JP 2001051382
JP 1999-225183
MARPAT 134:185890 | A2 | 20010223 | JP 1999-225183 | 19990809 < | | |

$$\begin{array}{c|c}
R^{1} & NH \\
N & N \\
N & N \\
R^{2}
\end{array}$$

AB The title photog. material contains at least 1 photog. development inhibitor releasing (DIR) coupler, at least 1 photog. magenta coupler represented by a general formula I (R1 = group capable of cleaving upon reaction with oxidized developing agent; R2 = aryl; R3 = substituent; n = 1-5), and deionized gelatins. The photog. material may contain a specified cyan coupler and a specified yellow filter dye.

IT 326592-54-5

RL: DEV (Device component use); USES (Uses)
(photog. DIR coupler in color photog. film with excellent storage stability and photog. properties)

RN 326592-54-5 HCAPLUS

CN 2-Naphthalenecarboxamide, 1-hydroxy-4-[(1-methyl-2,5-dioxo-3-pyrrolidinyl)thio]-N-[5-(octyloxy)-2-(1,1,3,3-tetramethylbutyl)phenyl]-(9CI) (CA INDEX NAME)

L5 ANSWER 2 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 2001:62612 HCAPLUS

DN 134:123526

TI Silver halide color photographic material containing developing inhibitor-releasing (DIR) coupler and inhibition-controlling agent and its imaging

IN Ishige, Osamu; Kataoka, Emiko; Tozai, Masakazu

PA Konica Co., Japan

SO Jpn. Kokai Tokkyo Koho, 56 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE | | |
|---------------------|------|----------------------|-----------------|------------|--|--|
| | | | | - - | | |
| PI JP 2001022038 | A2 | 20010126
19990707 | JP 1999-193066 | 19990707 < | | |
| PRAI JP 1999-193066 | | 19990/0/ | | | | |

OS MARPAT 134:123526

AB The material comprising a support laminated with ≥1 red-, green-, and blue-sensitive Ag halide photog. emulsion layers and a yellow filter layer contains (A) a DIR coupler in ≥1 of the photog. layers, (B) an inhibition-controlling agent in the same or other emulsion layer. The material is processed with a solution containing 0.025-0.100 mol/L color

10/716,238

developer and 0.01-50.0 g/L poly(vinylpyrrolidone) for 95-120 s. It showed improved color reproduction and high sensitivity because of its interimage effect.

IT 321546-72-9

RL: DEV (Device component use); MOA (Modifier or additive use); USES (Uses)

(inhibition controller; silver halide color photog. material containing DIR coupler and inhibition controller)

RN 321546-72-9 HCAPLUS

CN 2-Naphthalenecarboxamide, 4-[(2,5-dioxo-3-pyrrolidinyl)thio]-1-hydroxy-N-[2-(tetradecyloxy)phenyl]- (9CI) (CA INDEX NAME)

IT 321546-73-0P

RL: DEV (Device component use); MOA (Modifier or additive use); PNU (Preparation, unclassified); PREP (Preparation); USES (Uses) (inhibition controller; silver halide color photog. material containing DIR coupler and inhibition controller)

RN 321546-73-0 HCAPLUS

CN 2-Naphthalenecarboxamide, 1-hydroxy-4-[(1-methyl-2,5-dioxo-3-pyrrolidinyl)thio]-N-[2-(octyloxy)-5-(1,1,3,3-tetramethylbutyl)phenyl]-(9CI) (CA INDEX NAME)

L5 ANSWER 3 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1999:767766 HCAPLUS

DN 132:137246

TI Diels-Alder reactions of enantiopure [(1S)-isoborneol-10-sulfinyl]- and [(1S-exo)-2-bornylsulfinyl]vinylcyclohexenes with maleimides

AU Aversa, Maria C.; Barattucci, Anna; Bonaccorsi, Paola; Giannetto, Placido; Nicolo, Francesco; Rizzo, Simona

CS Dipartimento di Chimica organica e biologica, Chimica analitica e Chimica fisica, Universita degli Studi di Messina, Messina, 98166, Italy

SO Tetrahedron: Asymmetry (1999), 10(20), 3907-3917 CODEN: TASYE3; ISSN: 0957-4166

PB Elsevier Science Ltd.

DT Journal

LA English

OS CASREACT 132:137246

Uncatalyzed cycloaddns. of enantiopure [(1S)-isoborneol-10-sulfinyl]- and [(1S-exo)-2-bornylsulfinyl]vinylcyclohexenes with N-phenylmaleimide occur with good facial diastereoselectivity, controlled by the sulfur configuration, even if the extent of this stereoselection appears influenced by the structural features of the terpene residue directly linked to the sulfoxide moiety. Complete endo diastereoselectivity is observed in LiClO4 catalyzed cycloaddns. of (RS)-1-{1-[(1S)-isoborneol-10-sulfinyl]vinyl}cyclohexene and (SS)-1-{1-[(1S-exo)-2-bornylsulfinyl]vinyl}cyclohexene (I). The Diels-Alder reactivity of I and (SS,E)-1-{2-[(1S-exo)-2-bornylsulfinyl]vinyl}cyclohexene (II) with the chiral auxiliary being in a different position with respect to the diene moiety, is also compared, and the results obtained confirm that 1-sulfinyl dienes are less reactive than 2-sulfinyl dienes. SnCl4 catalyzed cycloaddn. of II with N-methylmaleimide is also performed.

IT 256512-85-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
(Diels-Alder reactions of enantiopure [(1S)-isoborneol-10-sulfinyl]and [(1S-exo)-2-bornylsulfinyl]vinylcyclohexenes with maleimides)

RN 256512-85-3 HCAPLUS

CN 2,5-Pyrrolidinedione, 1-methyl-3-[(S)-[(1S,2S,4S)-1,7,7-trimethylbicyclo[2.2.1]hept-2-yl]sulfinyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1999:649974 HCAPLUS

DN 132:134597

TI Activity of some new sulfur compounds bearing tetrahydrobenzo[b]thieno[2, 3-d] pyrimidine moiety on non-irradiated and irradiated Bacillus cereus

AU Heiba, H. I.; Ghorab, M. M.; Amin, N. E.; El-Hifnawi, H. N.

CS Department of Drug Radiation Research, National Center for Radiation Research and Technology, Cairo, Egypt

SO Egyptian Journal of Biotechnology (1998), 4, 46-57 CODEN: EJBIF7; ISSN: 1110-6093

PB Egyptian Society for Biotechnology

DT Journal

LA English

GΙ

IT

Ι

AB Several new heterocyclic systems bearing sulfur-containing tetrahydrobenzo[b]thieno[2, 3-d]pyrimidine moiety have been prepared Several of the showed remarkable activity against growth of non-irradiated Bacillus cereus compared with the standard antimicrobial agents flucamox (Amoxycillin-flucloxacillin) and septazole (Trimethoprim-sulphamethoxazole). The chlorothienopyrimidine I exhibited higher activity against radioresistant Bacillus cereus.

256956-75-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological

study); PREP (Preparation)

(preparation of benzo[b]thienopyrimidines and activity against non-resistant and radioresistant Bacillus cereus)

RN 256956-75-9 HCAPLUS

CN 2-Pyrrolidinone, 3-[(5,6,7,8-tetrahydro[1]benzothieno[2,3-d]pyrimidin-4-yl)thio]- (9CI) (CA INDEX NAME)

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1997:442297 HCAPLUS

DN 127:156255

TI Synthesis and structure-activity relationships of a novel oral carbapenem, CS-834

AU Miyauchi, Masao; Endo, Rokuro; Hisaoka, Masafumi; Yasuda, Hiroshi; Kawamoto, Isao

CS Research Laboratories, Sankyo Co., Ltd., Shinagawaku, 140, Japan

SO Journal of Antibiotics (1997), 50(5), 429-439 CODEN: JANTAJ; ISSN: 0021-8820

PB Japan Antibiotics Research Association

DT Journal

LA English

OS CASREACT 127:156255

AB The authors have studied an ester prodrug of a carbapenem to develop a potent orally active β-lactam antibiotic. A variety of 1β-methylcarbapenem derivs. have been synthesized. The authors have found that some derivs. having an amide group in the C-2 side chain show potent and well balanced antibacterial activities as well as high stability against dehydropeptidase-I. Oral absorption of derivs. has been optimized by modifying the C-3 ester promoiety. Pivaloyloxymethyl (1R,5S,6S)-6[(R)-1-hydroxyethyl]-1-methyl-2-[(R)-5-oxopyrrolidin-3-ylthio]-1-carbapen-2-em-3-carboxylate, CS-834, has been selected as the most promising compound for further evaluation.

IT 193811-22-2

RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses) (synthesis and antibacterial structure-activity relationships of a novel oral carbapenem CS-834 in relation to stability to dehydropeptidase-I and ester prodrug development)

RN 193811-22-2 HCAPLUS

CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 6-(1-hydroxyethyl)-4-methyl-7-oxo-3-[(2-oxo-3-pyrrolidinyl)thio]-, monosodium salt,

 $[4R-[4\alpha,5\beta,6\beta(R^*)]]-[partial]-(9CI)$ (CA INDEX NAME)

Absolute stereochemistry.

Na

RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L5 ANSWER 6 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN
- AN 1997:15490 HCAPLUS
- DN 126:60367
- TI Preparation of aryloxy- and arylthioglutamic acids as excitatory amino acid receptor antagonists
- IN Heinz, Lawrence J.; Lunn, William H. W.; Schoepp, Darryle D.
- PA Eli Lilly and Company, USA
- SO U.S., 31 pp., Cont.-in-part of U.S. Ser. No. 161,830,abandoned. CODEN: USXXAM
- DT Patent
- LA English

FAN.CNT 2

| 21210 | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------------|----------------|------------|----------|-------------------------|------------|
| ΡI | US 5576323 | A | 19961119 | US 1994-322632 | 19941013 < |
| | ZA 9409405 | Α | 19960528 | ZA 1994-9405 | 19941128 < |
| | CA 2136904 | AA | 19950604 | CA 1994-2136904 | 19941129 < |
| | NO 9404578 | Α | 19950606 | NO 1994-4578 | 19941129 < |
| | AU 9479151 | A1 | 19950608 | AU 1994-79151 | 19941130 < |
| | AU 676781 | B2 | 19970320 | | |
| | BR 9404809 | Α | 19950801 | BR 1994-4809 | 19941201 < |
| | FI 9405704 | Α | 19950604 | FI 1994-5704 | 19941202 < |
| | EP 658539 | A 1 | 19950621 | EP 1994-308949 | 19941202 < |
| | R: AT, BE, CH, | DE, DK | ES, FR, | GB, GR, IE, IT, LI, LU, | NL, PT, SE |
| | HU 69181 | A2 | 19950828 | HU 1994-3469 | 19941202 < |
| | CN 1108240 | Α | 19950913 | CN 1994-119360 | 19941202 < |
| | JP 07267908 | A2 | 19951017 | JP 1994-299390 | 19941202 < |
| | US 5843997 | Α | 19981201 | US 1996-626447 | 19960402 < |
| PRAI | US 1993-161830 | B2 | 19931203 | | |
| | US 1994-322632 | Α | 19941013 | | |
| OS MARPAT 126:60367 | | | | | |

AB Novel compds. R3pX3mX2sX1nCH(CO2R2)(CH2)rCH(NH2)CO2R1 [R1, R2 = H, protective group, R3, X2 = (un)substituted aryl or heterocyclyl group, X1 = NH2 or substituted amino, O, S, X3 = alkylene, alkenediyl, oxoalkylene, oxyalkylene, etc., m, n, s = 0, 1, p = 0-3, q = 0-6, r = 1, 2] or their pharmaceutically acceptable salts were prepared as antagonists of excitatory amino acid receptors. Thus, Me 3-hydroxy-2-pyrrolidone-5-carboxylate was

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prepared in 4 steps from cyclopentadiene and benzyl N-hydroxycarbamate and etherified with phenol and treated with LiOH in H2O-THF to afford 4-phenoxyglutamic acid. The latter at 10 µM concentration gave 88.0% displacement of 3H-glutamate binding from rat brain cell membranes. Formulation containing the title compds. are given.

IT 170012-58-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of aryloxy- and arylthioglutamic acids as excitatory amino acid receptor antagonists)

RN 170012-58-5 HCAPLUS

CN Proline, 4-(2-naphthalenylthio)-5-oxo-, methyl ester (9CI) (CA INDEX NAME)

L5 ANSWER 7 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1996:642931 HCAPLUS

DN 125:278267

TI Monosuccinimides as additives in the sulfur vulcanization of rubber

AU Anon

CS UK

SO Research Disclosure (1996), 390, P 656 (No. 39024) CODEN: RSDSBB; ISSN: 0374-4353

PB Kenneth Mason Publications Ltd.

DT Journal; Patent

LA English

PATENT NO. KIND DATE APPLICATION NO. DATE

PI RD 390024

19961010

PRAI RD 1996-390024 19961010

OS MARPAT 125:278267

AB Succinimide derivs. are used to impart antireversion, antifatigue, reduced heat buildup, and/or accelerating activity to S-vulcanized rubber. Examples are given with natural rubber using 1 phr 1-phenyl-3-(2-mercaptobenzothiazolyl) succinimide, 1-phenyl-3-(2-dibenzyldithiocarbamoyl) succinimide, or 4-bromo-1-phenyl-3-(2-dibenzyldithiocarbamoyl) succinimide. The succinimides provided an antireversion and accelerating effect and reduced heat buildup without having an adverse effect on other rubber properties.

RN 182752-62-1 HCAPLUS

CN 2,5-Pyrrolidinedione, 3-(2-benzothiazolylthio)-1-phenyl- (9CI) (CA INDEX NAME)

L5 ANSWER 8 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1996:401622 HCAPLUS

DN 125:86293

TI Preparation of carbapenem derivatives as antibacterials

IN Nakagawa, Susumu; Fukatsu, Hiroshi; Kato, Yoshiaki; Sato, Yuichi; Kanesaka, Tomoyasu

PA Banyu Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 33 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

| PAN. | CNT I | | | | |
|------|------------------|-----------|-------------|------------------------|------------|
| | PATENT NO. | KIND DA | ATE . | APPLICATION NO. | DATE |
| | | | | | |
| PI | WO 9607655 | A1 19 | 9960314 | WO 1995-JP1756 | 19950904 < |
| | W: AU, CA, JP, | KR | | | |
| | RW: AT, BE, CH, | DE, DK, E | ES, FR, GB, | GR, IE, IT, LU, MC, NI | , PT, SE |
| | AU 9645960 | Al 19 | 9960327 | AU 1996-45960 | 19950904 < |
| PRAI | JP 1994-238484 | A 19 | 9940906 | | |
| | JP 1995-72280 | A 19 | 9950306 | | |
| | WO 1995-JP1111 | A 19 | 9950606 | | |
| | WO 1995-JP1756 | W 19 | 9950904 | | |
| os | MARPAT 125:86293 | | | | |
| GT | | | | | |

Me
$$N$$
 SR^3 $COOR^2$ I

AB Novel title compds. I [R1 represents hydrogen or lower alky1; R2 represents hydrogen, ester residue or alkali metal; and R3 represents oxoor thioxopiperidiny1 or oxoor thioxopyrrolidiny1] are prepared. I have potent antibacterial activity against gram-pos. and gram-neg. bacterial including MRSA, an excellent resistance against β-lactamases and DHD-1 and a safety for the central nervous system, thus being useful as an antibacterial. 5-Mercapto-2-pyridinone (also prepared) was reacted with p-nitrobenzy1 (1R,5R,6S)-2-diphenylphosphoryloxy-6-[(1R)-1-hydroxyethy1]-1-methyl-1-carbapen-2-em-3-carboxylate in MeCN overnight with ice cooling to give, after deprotection and column chromatog. over LC-SORB SP-B-ODS using water-methanol as the solvent, the diastereomers of I [R1 = Me, R2 = Na, R3 = 6-oxo-3-piperidiny1]. In an in vitro study, the more polar of the

two diastereomers had an IC50 of 0.025 mu/mL against Staphylococcus aureus.

IT 178322-48-0P 178322-49-1P 178455-94-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of carbapenem derivs. as antibacterials)

RN 178322-48-0 HCAPLUS

Absolute stereochemistry.

Na

RN 178322-49-1 HCAPLUS

CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 6-(1-hydroxyethyl)-4-methyl-7-oxo-3-[(2-oxo-3-piperidinyl)thio]-, (2,2-dimethyl-1-oxopropoxy)methyl ester, [4R-[3(R*),4α,5β,6β(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 178455-94-2 HCAPLUS

CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, $6-(1-hydroxyethyl)-4-methyl-7-oxo-3-[(2-oxo-3-piperidinyl)thio]-, monosodium salt, [4R-[3(R*), <math>4\alpha$, 5β , 6β (R*)]]- (9CI) (CA INDEX NAME)

IT 178456-09-2P 178456-10-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of carbapenem derivs. as antibacterials)

RN 178456-09-2 HCAPLUS

CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 6-(1-hydroxyethyl)-4-methyl-7-oxo-3-[(2-oxo-3-pyrrolidinyl)thio]-, monosodium salt, $[4R-[3(S^*),4\alpha,5\beta,6\beta(R^*)]]$ - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Na

RN 178456-10-5 HCAPLUS

CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, $6-(1-hydroxyethyl)-4-methyl-7-oxo-3-[(2-oxo-3-pyrrolidinyl)thio]-, monosodium salt, [4R-[3(R*),4<math>\alpha$,5 β ,6 β (R*)]]- (9CI) (CA INDEX NAME)

```
IT
     178322-61-7P 178322-62-8P 178322-63-9P
     178322-64-0P 178322-65-1P 178322-66-2P
     178322-67-3P 178322-68-4P 178322-69-5P
     178322-70-8P 178322-76-4P 178455-98-6P
     178455-99-7P 178456-00-3P 178456-01-4P
     178456-02-5P 178456-03-6P 178456-04-7P
     178456-05-8P 178456-06-9P 178456-07-0P
     178456-08-1P 178456-16-1P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation of carbapenem derivs. as antibacterials)
RN
     178322-61-7 HCAPLUS
     1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 3-[(2,5-dioxo-3-
CN
     pyrrolidinyl)thio]-6-(1-hydroxyethyl)-4-methyl-7-oxo-, monosodium salt,
     [4R-[3(S^*), 4\alpha, 5\beta, 6\beta(R^*)]]-(9CI) (CA INDEX NAME)
```

Absolute stereochemistry.

Na

RN 178322-62-8 HCAPLUS CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 6-(1-hydroxyethyl)-4-methyl-3-[(1-methyl-2,5-dioxo-3-pyrrolidinyl)thio]-7-oxo-, monosodium salt, $[4R-[3(S^*),4\alpha,5\beta,6\beta(R^*)]]$ - (9CI) (CA INDEX NAME)

RN 178322-63-9 HCAPLUS

CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 3-[(2,6-dioxo-3-piperidinyl)thio]-6-(1-hydroxyethyl)-4-methyl-7-oxo-, monosodium salt, [4R-[3(S*),4 α ,5 β ,6 β (R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Na

RN 178322-64-0 HCAPLUS

CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, $6-(1-hydroxyethyl)-4-methyl-3-[(1-methyl-2,6-dioxo-3-piperidinyl)thio]-7-oxo-, monosodium salt, [4R-[3(S*),4<math>\alpha$,5 β ,6 β (R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Na

RN 178322-65-1 HCAPLUS

CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, $6-(1-hydroxyethyl)-4-methyl-3-[(1-methyl-2-oxo-6-thioxo-3-piperidinyl)thio]-7-oxo-, monosodium salt, [4R-[3(S*), <math>4\alpha$, 5β , 6β (R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Na

RN 178322-66-2 HCAPLUS

CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 3-[(2,5-dioxo-3-pyrrolidinyl)thio]-6-(1-hydroxyethyl)-4-methyl-7-oxo-, (2,2-dimethyl-1-oxopropoxy)methyl ester, [4R-[3(S*),4 α ,5 β ,6.bet a.(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 178322-67-3 HCAPLUS

CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, $6-(1-hydroxyethyl)-4-methyl-3-[(1-methyl-2,5-dioxo-3-pyrrolidinyl)thio]-7-oxo-, (2,2-dimethyl-1-oxopropoxy)methyl ester, [4R-[3(S*),4<math>\alpha$,5 β ,6.bet a.(R*)]]- (9CI) (CA INDEX NAME)

RN 178322-68-4 HCAPLUS

CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 3-[(2,6-dioxo-3-piperidinyl)thio]-6-(1-hydroxyethyl)-4-methyl-7-oxo-, (2,2-dimethyl-1-oxopropoxy)methyl ester, [4R-[3(S*),4 α ,5 β ,6 β (R*)]]- (9CI) (ĈA INDEX NAME)

Absolute stereochemistry.

RN 178322-69-5 HCAPLUS

CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 6-(1-hydroxyethyl)-4-methyl-3-[(1-methyl-2,6-dioxo-3-piperidinyl)thio]-7-oxo-, (2,2-dimethyl-1-oxopropoxy)methyl ester, [4R-[3(S*),4 α ,5 β ,6.bet a.(R*)]]- (9CI) (CA INDEX NAME)

RN 178322-70-8 HCAPLUS

CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 6-(1-hydroxyethyl)-4methyl-3-[(1-methyl-2-oxo-6-thioxo-3-piperidinyl)thio]-7-oxo-,
 (2,2-dimethyl-1-oxopropoxy)methyl ester, [4R-[3(S*),4α,5β,6.bet
a.(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 178322-76-4 HCAPLUS

CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 3-[(2,5-dioxo-3-pyrrolidinyl)thio]-6-(1-hydroxyethyl)-4-methyl-7-oxo-, (4-nitrophenyl)methyl ester, [4R-[3(S*),4 α ,5 β ,6 β (R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 178455-98-6 HCAPLUS

CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, $6-(1-hydroxyethyl)-4-methyl-7-oxo-3-[(2-oxo-3-piperidinyl)thio]-, (2,2-dimethyl-1-oxopropoxy)methyl ester, [4R-[3(S*),4<math>\alpha$,5 β ,6 β (R*)]]- (9CI) (CA INDEX NAME)

RN 178455-99-7 HCAPLUS

CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 3-[(2,5-dioxo-3-pyrrolidinyl)thio]-6-(1-hydroxyethyl)-4-methyl-7-oxo-, monosodium salt, $[4R-[3(R^*),4\alpha,5\beta,6\beta(R^*)]]$ - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Na

RN 178456-00-3 HCAPLUS

CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 6-(1-hydroxyethyl)-4-methyl-3-[(1-methyl-2,5-dioxo-3-pyrrolidinyl)thio]-7-oxo-, monosodium salt, [4R-[3(R*),4 α ,5 β ,6 β (R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Na

RN 178456-01-4 HCAPLUS

CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 3-[(2,6-dioxo-3-piperidinyl)thio]-6-(1-hydroxyethyl)-4-methyl-7-oxo-, monosodium salt, $[4R-[3(R^*),4\alpha,5\beta,6\beta(R^*)]]$ - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Na

RN 178456-02-5 HCAPLUS

CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 6-(1-hydroxyethyl)-4-methyl-3-[(1-methyl-2,6-dioxo-3-piperidinyl)thio]-7-oxo-, monosodium salt, $[4R-[3(R^*),4\alpha,5\beta,6\beta(R^*)]]$ - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Na

RN 178456-03-6 HCAPLUS

CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 6-(1-hydroxyethyl)-4-methyl-3-[(1-methyl-2-oxo-6-thioxo-3-piperidinyl)thio]-7-oxo-, monosodium salt, $[4R-[3(R^*),4\alpha,5\beta,6\beta(R^*)]]$ - (9CI) (CA INDEX NAME)

RN 178456-04-7 HCAPLUS

CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 3-[(2,5-dioxo-3-pyrrolidinyl)thio]-6-(1-hydroxyethyl)-4-methyl-7-oxo-, (2,2-dimethyl-1-oxopropoxy)methyl ester, [4R-[3(R*),4 α ,5 β ,6.bet a.(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 178456-05-8 HCAPLUS

CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 6-(1-hydroxyethyl)-4methyl-3-[(1-methyl-2,5-dioxo-3-pyrrolidinyl)thio]-7-oxo-,
 (2,2-dimethyl-1-oxopropoxy)methyl ester, [4R-[3(R*),4α,5β,6.bet
a.(R*)]]- (9CI) (CA INDEX NAME)

RN 178456-06-9 HCAPLUS

CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 3-[(2,6-dioxo-3-piperidinyl)thio]-6-(1-hydroxyethyl)-4-methyl-7-oxo-, (2,2-dimethyl-1-oxopropoxy)methyl ester, [4R-[3(R*),4 α ,5 β ,6 β (R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 178456-07-0 HCAPLUS

CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 6-(1-hydroxyethyl)-4methyl-3-[(1-methyl-2,6-dioxo-3-piperidinyl)thio]-7-oxo-,
 (2,2-dimethyl-1-oxopropoxy)methyl ester, [4R-[3(R*),4α,5β,6.bet
a.(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 178456-08-1 HCAPLUS

CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 6-(1-hydroxyethyl)-4-methyl-3-[(1-methyl-2-oxo-6-thioxo-3-piperidinyl)thio]-7-oxo-, (2,2-dimethyl-1-oxopropoxy)methyl ester, [4R-[3(R*),4 α ,5 β ,6.bet a.(R*)]]- (9CI) (CA INDEX NAME)

RN 178456-16-1 HCAPLUS
CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 3-[(2,5-dioxo-3-pyrrolidinyl)thio]-6-(1-hydroxyethyl)-4-methyl-7-oxo-,

(4-nitrophenyl) methyl ester, $[4R-[3(R^*),4\alpha,5\beta,6\beta(R^*)]]-$

(9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 178322-75-3P 178456-15-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of carbapenem derivs. as antibacterials)

RN 178322-75-3 HCAPLUS

CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, $6-(1-hydroxyethyl)-4-methyl-7-oxo-3-[(2-oxo-3-piperidinyl)thio]-, (4-nitrophenyl)methyl ester, [4R-[3(S*),4<math>\alpha$,5 β ,6 β (R*)]]- (9CI) (CA INDEX NAME)

RN 178456-15-0 HCAPLUS

CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, $6-(1-hydroxyethyl)-4-methyl-7-oxo-3-[(2-oxo-3-piperidinyl)thio]-, (4-nitrophenyl)methyl ester, [4R-[3(R*),4<math>\alpha$,5 β ,6 β (R*)]]- (9CI) (CA INDEX NAME)

- L5 ANSWER 9 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN
- AN 1995:905329 HCAPLUS
- DN 123:314527
- TI Preparation of aryloxyglutamates and related compounds as excitatory amino acid receptor antagonists.
- IN Heinz, Lawrence J.; Lunn, William Henry Walker; Schoepp, Darryle Darwin
- PA Eli Lilly and Co., USA
- SO Eur. Pat. Appl., 52 pp. CODEN: EPXXDW

DT Patent LA English

FAN.CNT 2

APPLICATION NO. DATE PATENT NO. KIND DATE _____ ____ 19950621 EP 1994-308949 19941202 <--A1 PΙ EP 658539 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE US 1994-322632 19941013 <--US 5576323 19961119 Α

PRAI US 1993-161830 A 19931203 US 1994-322632 A 19941013

OS CASREACT 123:314527; MARPAT 123:314527

AB H2NCH(CO2R3)(CH2)rCH(CO2R4)Zn(R1)sWm(R2)p [Z = NR5, O, S; W = CH3-p, (CH2)q, CH:CHCO, (CH2)qO, NR5, O, S, SO, SO2, etc.; m, n, s = 0, 1; p = 0-3; q = 0-6; r = 1, 2; m + n + p + s ≥1; R1, R2 = (substituted) aryl, heterocyclyl; R3, R4 = H, protecting group; R5 = H, alkyl, acyl, alkylsulfonyl; with provisos], were prepared Thus, Me 3-hydroxy-2-pyrrolidone-5-carboxylate (preparation given) was treated with Ph3P, 2-naphthalenethiol, and di-Et azodicarboxylate in THF at 0° to give Me 3-(2-naphthalenethio)-2-pyrrolidone-5-carboxylate. The latter was treated with LiOH in THF/H2O to give 3-(2-naphthalenethio)glutamic acid. This at 100 μM gave 100.6% displacement of [3H]-Glu from crude rat forebrain membrane prepns.

IT 170012-58-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of aryloxyglutamates and related compds. as excitatory amino acid receptor antagonists)

RN 170012-58-5 HCAPLUS

CN Proline, 4-(2-naphthalenylthio)-5-oxo-, methyl ester (9CI) (CA INDEX NAME)

L5 ANSWER 10 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1992:612225 HCAPLUS

DN 117:212225

TI 2-(2-Oxopyrroldin-3-ylthio)-6-(1-hydroxyethyl)-1-methylcarbapen-2-em-3-carboxylic acid pivaloyloxymethyl ester

IN Iwasaki, Tameo; Kondo, Kazuhiko; Horikawa, Koji; Matsushita, Tadahiro; Yamaguchi, Totaro

PA Tanabe Seiyaku K. K., Japan

SO Jpn. Kokai Tokkyo Koho, 6 pp. CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

PI JP 04103584 A2 19920406 JP 1990-218955 19900822 <-PRAI JP 1990-218955 19900822

AB Title compound (I), resistant to gram-pos. and gram-neg. bacteria and particularly to cephem-resistant bacteria, is prepared Thus, (3R)-3-hydroxypyrrolidin-2-one was tosylated, treated with K thioacetate, and hydrolyzed to give (3R)-3-mercaptopyrrolidin-2-one, which was treated with di-Ph phosphorochloridate-activated (1R, 3R, 5R, 6S)-6-[(1R)-1-hydroxyethyl]-1-methyl-2-oxocarbapenam-3-carboxylic acid p-nitrobenzyl ester to give (1R,5S,6S)-2-[(3R)-2-oxopyrrolidin-3-ylthio]-6-[(1R)-1-hydroxyethyl]-1-methylcarbapen-2-em-3-carboxylic acid p-nitrobenzyl ester (II). Deprotection of II by H over Pd/C in the presence of KHCO3 gave the corresponding K salt, which was treated with pivaloyloxymethyl iodide to give (1R,5S,6S)-2-[(3R)-2-oxopyrrolidin-3-ylthio]-6-[(1R)-1-hydroxyethyl]-1-methylcarbapen-2-em-3-carboxylic acid pivaloyloxymethyl ester.

IT 143492-66-4P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and condensation of, with pivaloyloxymethyl iodide)

RN 143492-66-4 HCAPLUS

CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, $6-(1-hydroxyethyl)-4-methyl-7-oxo-3-[(2-oxo-3-pyrrolidinyl)thio]-, monopotassium salt, [4R-[3(R*),4<math>\alpha$,5 β ,6 β (R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

K

IT 143456-50-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and deprotection of, by hydrogen over palladium/carbon in presence of potassium hydrogencarbonate)

RN 143456-50-2 HCAPLUS

CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, $6-(1-hydroxyethyl)-4-methyl-7-oxo-3-[(2-oxo-3-pyrrolidinyl)thio]-, (4-nitrophenyl)methyl ester, [4R-[3(R*),4<math>\alpha$,5 β ,6 β (R*)]]- (9CI) (CA INDEX NAME)

ΙT 143456-51-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of, as bactericide)

143456-51-3 HCAPLUS RN

1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 6-(1-hydroxyethyl)-4-CN methyl-7-oxo-3-[(2-oxo-3-pyrrolidinyl)thio]-, (2,2-dimethyl-1-invalidinyl)oxopropoxy) methyl ester, $[4R-[3(R^*), 4\alpha, 5\beta, 6\beta(R^*)]]-(9CI)$ (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 11 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN

1992:448213 HCAPLUS ΑN

DN 117:48213

ΤI Preparation of cephalosporin derivatives and their homologs

IN Gasson, Brian Charles; Hinks, Jeremy David; Burton, George

PΑ Beecham Group PLC, UK

PCT Int. Appl., 78 pp. SO

CODEN: PIXXD2

DTPatent

LΑ English

| FAN. | PATENT NO. | | | | | | | DATE | | APPLICATION | APPLICATION NO. | | |
|------|------------|------|-------------|-----|-----|------------|----|-------|------|---------------|-----------------|----------|---|
| | | | _ . | | | | - | | | | | | |
| ΡI | WO | 9204 | 353 | | | A1 | | 1992 | 0319 | WO 1991-GB | 1534 | 19910909 | < |
| | | W: | ΑU, | CA, | JP, | KR, | US | | | | | | |
| | | RW: | ΑT, | BE, | CH, | DE, | DK | , ES, | FR, | GB, GR, IT, L | U, NL, SE | | • |
| | ΑU | 9185 | 331 | | | A 1 | | 1992 | 0330 | AU 1991-85 | 331 | 19910909 | < |

| | ΕP | 5481 | 86 | | | A 1 | 1 | .993 | 0630 | | EP | 1991-916416 | 19910909 | < |
|------|-----|------|-------|-------|-----|------------|-----|------|------|----|----|-------------|----------|---|
| | ΕP | 5481 | 86 | | | В1 | 1 | .997 | 0305 | | | | | |
| | | R: | BE, | CH, | DE, | FR, | GB, | IT, | LI, | NL | | | | |
| | JΡ | 0650 | 0788 | | | Т2 | 1 | .994 | 0127 | | JΡ | 1991-515532 | 19910909 | < |
| | JP | 2851 | 429 | | | B2 | 1 | .999 | 0127 | | | | | |
| PRAI | GB | 1990 | -1974 | 13 | | A | 1 | .990 | 0910 | | | | • | |
| | WO | 1991 | -GB15 | 534 · | | Α | 1 | .991 | 0909 | | | | | |
| os | MAI | RPAT | 117:4 | 48213 | 3 | | | | | | | | | |
| GI | | | | | | | | | | | | | | |

$$R^{2}NH$$
 R^{1}
 $R^{2}NH$
 $R^{2}N$

Title compds. I [R1 = H, MeO, HCONH; R2 = acyl, R3O2C, wherein R3 = AΒ carboxylate anion, removable carboxy protecting group; L = HC:, (CH2)n, (CH2)xY(CH2)y wherein n, x, y = 0, 1; Y = S, O; R4 = γ -, δ -thiolactone or lactam optionally containing 1-2 endocyclic double bonds and optionally substituted; X = S, O, CH2, SO, SO2], useful as antibacterials, are prepared Thiotetronic acid previously treated with P2S5 was dissolved in dioxan, warmed to 80°, and stirred for 2 h to give 2,5-dihydro-4-mercaptothiophen-2-one which in CH2Cl2 was treated with diphenylmethyl (6R,7R)-3-(chloromethyl)-7-phenylacetamidoceph-3-em-4carboxylate to give after workup diphenylmethyl (6R,7R)-3-(2,5-dihydro-2oxothien-4-yl)thiomethyl]-7-phenylacetamidoceph-3-em-4-carboxylate (II). II was converted in 3 steps to I [R1 = H, R2 = 7-[2-(2-aminothiazol-4-yl)-2-(Z)-methoxyiminoacetyl], R3 = Na, L = H2C, R4 = (2,5-dihydro-2-oxothien-4-yl)thio] (II). The min. inhibitory concentration of II against Escherichia coli and Staphylococcus aureus was ≤ 0.03 and $0.25~\mu g/mL$, resp.

IT 141998-71-2P 141998-72-3P 142079-10-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of, as antibacterial)

RN 141998-71-2 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl) (methoxyimino)acetyl]amino]-3-[(1-methoxy-2-oxo-3-pyrrolidinyl)thio]-8-oxo-, monosodium salt, [6R-[6 α ,7 β (Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 141998-72-3 HCAPLUS CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl) (methoxyimino)acetyl]amino]-3-[(1-methyl-2-oxo-3-pyrrolidinyl)thio]-8-oxo-, monosodium salt, [6R-[6 α ,7 β (Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

Na

RN 142079-10-5 HCAPLUS CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl) (methoxyimino)acetyl]amino]-3-[(1-methoxy-2-oxo-3-pyrrolidinyl)thio]-8-oxo-, (2,2-dimethyl-1-oxopropoxy)methyl ester, [6R-[6 α ,7 β (Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

IT 142079-15-0P 142079-16-1P 142079-17-2P 142079-18-3P 142079-19-4P 142079-20-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as intermediate in preparation of cephalosporin derivs.)

RN 142079-15-0 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid,
3-[(1-methoxy-2-oxo-3-pyrrolidinyl)thio]-8-oxo-7-[(phenylacetyl)amino]-,
diphenylmethyl ester, [6R-(6α,7β)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 142079-16-1 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-amino-3-[(1-methoxy-2-oxo-3-pyrrolidinyl)thio]-8-oxo-, diphenylmethyl ester, [6R-(6 α ,7 β)]- (9CI) (CA INDEX NAME)

RN 142079-17-2 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(methoxyimino)[2-[(triphenylmethyl)amino]-4-thiazolyl]acetyl]amino]-3-[(1-methoxy-2-oxo-3-pyrrolidinyl)thio]-8-oxo-, diphenylmethyl ester, [6R-[6 α ,7 β (Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 142079-18-3 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 3-[(1-methyl-2-oxo-3-pyrrolidinyl)thio]-8-oxo-7-[(phenylacetyl)amino]-, diphenylmethyl ester, $[6R-(6\alpha,7\beta)]-(9CI)$ (CA INDEX NAME)

Absolute stereochemistry.

RN 142079-19-4 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-amino-3-[(1-methyl-2-oxo-3-pyrrolidinyl)thio]-8-oxo-, diphenylmethyl ester, [6R-(6 α ,7 β)]- (9CI) (CA INDEX NAME)

RN 142079-20-7 HCAPLUS CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(methoxyimino)[2-[(triphenylmethyl)amino]-4-thiazolyl]acetyl]amino]-3- [(1-methyl-2-oxo-3-pyrrolidinyl)thio]-8-oxo-, diphenylmethyl ester, [6R-[6 α ,7 β (Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

L5

AN 1991:23685 HCAPLUS 114:23685 DN Preparation of heterocyclylthiocephems as antibacterial agents ΤI Hayano, Takeshi; Sasaki, Takashi IN Daiichi Seiyaku Co., Ltd., Japan PΑ Jpn. Kokai Tokkyo Koho, 15 pp. SO CODEN: JKXXAF DTPatent LА Japanese FAN CNT 1

ANSWER 12 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE | | |
|------------------------------|----------|----------------------|-----------------|------|------------|--|
| PI JP 02164883
JP 2723938 | A2
B2 | 19900625
19980309 | JP 1988-318133 | | 19881216 < | |
| PRAI JP 1988-318133 | | 19881216 | | | • | |
| OS MARPAT 114:23685 | | | | | | |
| GI | | | | | • | |

AB The title compds. I [Y = CH, N; R1 = H, amino-protecting group; R2 = (substituted) alkyl, H, etc.; R3 = (substituted), or (protected) carboxyl, carboxylate; R4 = H, (protected) carboxyl, etc.; R5 = H, alkyl, acyl, etc.; Z1 = Q; Z2 = CH2, CO, C:NH, etc.; n, m = 0-3] were prepared Reaction of benzhydryl 7β-[2-(2-tritylaminothiazol-4-yl)-2-methoxyiminoacetamido]-3-methanesulfonyloxy-3-cephem-4-carboxylate 1-sulfoxide with (2S,4S)-N-tert-butoxycarbonyl-2-carbamoyl-4-mercaptopyrrolidine in DMF containing diisopropylethylamine, followed by treatment with AcCl in the presence of KI in acetone containing DMF, deprotection in CF3CO2H/anisole, and treatment with HCO2H, gave (7β, syn)-I [R1 = H; Y = CH; R2 = Me; R3 = CO2H; Z1 = (2S, 4S)-2-carbamoylpyrrolidin-4-yl], which in vitro exhibited MIC of 1.56 μg/mL against Staphylococcus aureus 209P.

IT 131004-27-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of, as antibacterial agent)

RN 131004-27-8 HCAPLUS

CN 5-Thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid, 7-[[(2-amino-4-thiazolyl) (methoxyimino)acetyl]amino]-8-oxo-3-[(2-oxo-3-pyrrolidinyl)thio]-, monohydrochloride, [6R-[6 α ,7 β (Z)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

● HCl

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L5
    ANSWER 13 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN
AN
    1990:571776 HCAPLUS
    113:171776
DN
     2-(heterocyclylthio)carbapenem derivatives their preparation and their use
TI
    Kawamoto, Isao; Tanaka, Teruo; Endo, Rokuro; Miyauchi, Masao; Iwata,
IN
    Masayuki
PA
    Sankyo Co., Ltd., Japan
    Eur. Pat. Appl., 124 pp.
SO
    CODEN: EPXXDW
DT
     Patent
    English
LΑ
FAN.CNT 1
     PATENT NO.
                        KIND
                               DATE
                                          APPLICATION NO.
                                                                 DATE
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                                          ______
                                                                 _____
PΙ
    EP 337637
                         A1
                               19891018
                                          EP 1989-303216
                                                                 19890331 <--
    EP 337637
                         В1
                               19941130
        R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE
     JP 02028180
                               19900130
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                                                                 19890330 <--
                     A2
    JP 2752143
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                               19980518
    DK 8901580
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                                          DK 1989-1580
                        Α
                               19891002
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    FI 8901572
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                               19891002
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                               19940228
    FI 91258
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                               19940610
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                               19900208
                                          NO 1989-1364
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    NO 168304
                        В
                               19911028
    NO 168304
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                               19920205
                                          EP 1994-100573
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    EP 597821
                        A1
                               19940518
        R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE
    ES 2067534
                         Т3
                               19950401
                                          ES 1989-303216
                                                                 19890331 <--
    HU 50178
                        A2
                                          HU 1989-1629
                                                                 19890401 <--
                               19891228
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    HU 204275
                               19911230
                       В1
                                          KR 1989-4321
                                                                 19890401 <--
                               19980417
    KR 133071
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    AU 8932386
                               19891005
                       B2
    AU 615729
                               19911010
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                                          ZA 1989-2435
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    ZA 8902435
                               19901228
    CA 1336092
                       A1
                               19950627
                                          CA 1989-595556
                                                                 19890403 <--
    JP 02049783
                       A2
                               19900220
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                                                                 19890509 <--
                        B4
    JP 07045499
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                               19920414
                                          US 1990-540878
                                                                 19900620 <--
                                                                 19920204 <--
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                               19930907
                                          US 1992-831070
   FI 9201681
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                                          FI 1992-1681
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    FI 92487
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                               19940815
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                                          JP 1994-89382
                                                                 19940427 <--
     JP 07002856
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     KR 132907
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                                          KR 1997-39082
                               19980417
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                        Α
                               19981130
                                          DK 1998-1576
                                                                 19981130 <--
PRAI JP 1988-80974
                        Α
                               19880401
     JP 1988-111640
                         Α
                               19880510
     EP 1989-303216
                        A3
                               19890331
     FI 1989-1572
                         Α
                               19890331
    US 1989-332884
                               19890403
                         В1
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Page 35

19890509

19900620

A3

JP 1989-115655

US 1990-540878

MARPAT 113:171776

OS

GI

OH R1
$$Q1=(CR6)_n$$
 $(CR6)_m$

$$CO_2R^5 I R^6$$

$$Q^2=CR6)_p$$

$$R^6$$

AB The title compds. I [Ra = Q1, Q2; one of R6 is a bond.; one of R6 is R2 and the others of R6 are all H; R1 = H, Me; R2 = H, (substituted) alkyl, halo, OH, alkoxy, amino, alkanoylamino, etc.; Z = H, alkyl, alkanoyl; NR3R4 = (substituted) amino, heterocyclic; CO2R5 = carboxy, CO2-, etc.; l, m, n = 0-3, provided that m + n is an integer from 2 to 6; p = 0-2; Y = single bond, O, S, etc.], useful as antibiotics, were prepared (1R,5S,6S)-2-[(2S,4S)-2-Carbamoyl-1,1-dimethylpyrrolidinium-4-ylthio]-6-[(1R)-1-hydroxyethyl]-1-methyl-1-carbapen-2-em-3-carboxylate [prepared from (2S,4S)-2-carbamoyl-4-mercapto-1,1-dimethylpyrrolidinium salt and 4-nitrobenzyl (1R,5R,6S)-6-[(1R)-1-hydroxyethyl]-1-methyl-2-oxo-1-carbapenam-3-carboxylate] in vitro exhibited a min. inhibitory concentration of 0.01 μg/mL against Staphylococcus aureus 209.

IT 127422-99-5P 127475-00-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of, as antibiotic)

RN 127422-99-5 HCAPLUS

CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 6-(1-hydroxyethyl)-4-methyl-7-oxo-3-[(2-oxo-3-pyrrolidinyl)thio]-, (5-methyl-2-oxo-1,3-dioxol-4-yl)methyl ester (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} OH & Me & N \\ Me-CH & S & \\ \hline \\ O & N & C-O-CH_2 & O \\ \hline \\ O & Me & O \end{array}$$

RN 127475-00-7 HCAPLUS

CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 6-(1-hydroxyethyl)-4-methyl-7-oxo-3-[(2-oxo-3-pyrrolidinyl)thio]-, monosodium salt (9CI) (CA INDEX NAME)

Na

IT 127475-00-7

RL: RCT (Reactant); RACT (Reactant or reagent) (reaction of, in preparation of antibiotic)

RN 127475-00-7 HCAPLUS

CN 1-Azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 6-(1-hydroxyethyl)-4-methyl-7-oxo-3-[(2-oxo-3-pyrrolidinyl)thio]-, monosodium salt (9CI) (CA INDEX NAME)

Na

L5 ANSWER 14 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1989:135016 HCAPLUS

DN 110:135016

TI Reinvestigation of the reactions of thiosemicarbazones with maleimides

AU Badawy, Mohamed A.; Kadry, Azza M.; Abdel-Hady, Sayed A.; Ibrahim, Yehia

CS Fac. Sci., Cairo Univ., Giza, Egypt

SO Sulfur Letters (1988), 8(1), 43-54 CODEN: SULED2; ISSN: 0278-6117

DT Journal

LA English

OS CASREACT 110:135016

GI

PhN
$$C_{6H_4Cl-p}$$
 C_{6H_4Cl-p} C_{6H_4Cl-p}

AB The addition reaction of thiosemicarbazones and N-arylmaleimides gives S-(N-aryl-2,5-dioxo-3-pyrrolidinyl)isothiosemicarbazones and not pyrrolidino[3,4-d]-1-thiocarboxamido-2,6-pyrazolidinediones as reported recently. E.g., p-ClC6H4CH:NNHC(S)NH2 reacts with N-phenylmaleimide to give I, not II).

IT 119521-66-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 119521-66-3 HCAPLUS

CN 2,5-Pyrrolidinedione, 3-(phenanthro[9,10-e]-1,2,4-triazin-3-ylthio)-1-phenyl- (9CI) (CA INDEX NAME)

L5 ANSWER 15 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1988:473243 HCAPLUS

DN 109:73243

TI Preparation of antibacterial (5R, 6S, 8R)-6-(1-hydroxyethyl)-2-(3R-pyrrolidin-2-one-3-yl)thiopenen-3-carboxylic acid and pharmaceutical compositions containing it

IN McCombie, Stuart W.; Tagat, Jayaram R.

PA Schering Corp., USA

SO Eur. Pat. Appl., 15 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------|---------------------|--------|-------------------|--|------------|
| ΡI | EP 257602 | Al | 19880302
FR GR | EP 1987-112231
GR, IT, LI, LU, NL, SE | 19870822 < |
| | US 4762827 | Α | 19880809 | US 1987-59720 | 19870609 < |
| | AU 8777362 | A1 | 19880225 | AU 1987-77362 | 19870824 < |
| | AU 598018 | B2 | 19900614 | | • |
| | DK 8704401 | Α | 19880226 | DK 1987-4401 | 19870824 < |
| | ZA 8706276 | Α | 19880427 | ZA 1987-6276 | 19870824 < |
| | JP 63060991 | A2 | 19880317 | JP 1987-211205 | 19870825 < |
| PRAI | US 1986-900066 | Α | 19860825 | | |
| os | CASREACT 109:73243; | MARPAT | 109:73243 | 3 | |

AB Title compound (I), useful as an antibiotic, was prepared e.g., from the appropriate alkali metal thiopenemcarboxylate and a mercaptopyrrolidinone. (S)-3-Hydroxypyrrolidin-2-one (preparation given) was treated with MeSO2Cl. The resulting (S)-3-(methanesulfonyloxy)pyrrolidin-2-one was stirred with allyl 2-K (5R,6S,8R)-6-(1-hydroxyethyl)-2-mercaptothiopenem-3-carboxylate in DMF for 12 h at room temperature to give the corresponding allyl oxopyrrolidinylthiopenemcarboxylate, which was deprotected to give I.Na salt. I.Na salt is active in vitro against Staphylococcus aureus at 0.125 μg/mL. An injectable powder containing 1 g I and 1.05 g Na citrate was prepared

IT 115538-98-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and deprotection of)

RN 115538-98-2 HCAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 6-(1-hydroxyethyl)-7-oxo-3-[(2-oxo-3-pyrrolidinyl)thio]-, 2-propenyl ester, $[5R-[3(R^*),5\alpha,6\alpha(R^*)]]$ - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 115648-63-0P 115648-64-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of, as antibiotic)

۲

RN 115648-63-0 HCAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 6-(1-hydroxyethyl)-7-oxo-3-[(2-oxo-3-pyrrolidinyl)thio]-, [5R-[3(R*),5 α ,6 α (R*)]]- (9CI) (CA INDEX NAME)

RN 115648-64-1 HCAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 6-(1-hydroxyethyl)-7-oxo-3-[(2-oxo-3-pyrrolidinyl)thio]-, monosodium salt, $[5R-[3(R^*),5\alpha,6\alpha(R^*)]]-$ (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Na

L5 ANSWER 16 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1986:150883 HCAPLUS

DN 104:150883

TI Heterocyclic mercaptocarboxylic acid amides, imides and nitriles as corrosion inhibiting agents

IN Clubley, Brian George; Phillips, Emyr

PA Ciba-Geigy A.-G., Switz.

SO Eur. Pat. Appl., 42 pp.

CODEN: EPXXDW

DT Patent

LA German

FAN.CNT 1

| | PATENT NO. | | | | KIND DATE | | APE | PLICATION NO | DATE | | | | | | |
|----|------------|----------------------|-----------|-----|-----------|----------------|-----|----------------------|------|----|----|-------------|---|----------|---|
| PI | EP | 1612
1612
1612 | 22 | | | A2
A3
B1 | • | 1985
1987
1990 | 0527 | | EP | 1985-810218 | | 19850508 | < |
| | LP | | ZZ
BE, | CH, | DE, | | GB, | IT, | | NL | | | | | |
| | US | 4719 | 036 | | | Α | | 1988 | 0112 | | US | 1985-731816 | | 19850508 | < |
| | AU | 8542 | 237 | | | A1 | | 1985 | 1114 | | ΑU | 1985-42237 | | 19850509 | < |
| | ΑU | 5846 | 14 | | | B2 | | 1989 | 0601 | | | | | | |
| | CA | 1315 | 792 | | | A 1 | | 1993 | 0406 | | CA | 1985-481148 | | 19850509 | < |
| | ZA | 8503 | 552 | | | Α | | 1985 | 1224 | | ZA | 1985-3552 | • | 19850510 | < |
| | BR | 8502 | 238 | | | Α | | 1986 | 0114 | | BR | 1985-2238 | | 19850510 | < |
| | JP | 6100 | 5070 | | | A2 | | 1986 | 0110 | | JP | 1985-100368 | | 19850511 | < |
| | | | | | | | | | | | | | | | |

JP 2547316 В2 19961023 PRAI GB 1984-12064 Α 19840511

Amides, imides, or nitriles of (cyclo)aliphatic acid derivs. of 2-mercaptobenzoxazoles, -benzothiazoles, or -benzimidazoles are corrosion inhibitors for coatings or aqueous systems in contact with metals. Thus, an alkyd coating containing 2% [[(benzothiazol-2-yl)thio]methyl]-Nbutylsuccinamic acid was coated on steel, baked, and subjected to salt spray corrosion testing for 600 h. Resistance of the coating to blistering and of the metal to rusting were 4.7 and 5.0, resp. (6 best).

ΙT 101393-86-6

RL: USES (Uses)

(corrosion inhibitors, for coatings)

RN101393-86-6 HCAPLUS

CN 2,5-Pyrrolidinedione, 3-(2-benzothiazolylthio)-1-octyl- (9CI) (CA INDEX

ANSWER 17 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN L5

1986:68673 HCAPLUS AN

DN 104:68673

2-azacycloalkylthiopenem derivatives ΤI

Hamanaka, Ernest Seiichi IN

PΑ Pfizer Inc., USA

Eur. Pat. Appl., 55 pp. SO

CODEN: EPXXDW

DTPatent

LΑ English

| FAN. | CNT 1 | | | | |
|------|------------------------|------------|----------------------|-----------------|------------|
| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
| PI | EP 138539
EP 138539 | A1
B1 | 19850424
19890315 | EP 1984-306831 | 19841008 < |
| | R: AT, BE, | CH, DE, FR | , GB, IT, | LI, LU, NL, SE | |
| | US 4772597 | A | 19880920 | US 1984-649516 | 19840913 < |
| | AT 41425 | E | 19890415 | AT 1984-306831 | 19841008 < |
| | IL 73223 | A1 | 19920216 | IL 1984-73223 | 19841010 < |
| | ES 536687 | A1 | 19851116 | ES 1984-536687 | 19841011 < |
| | FI 8404023 | Α | 19850415 | FI 1984-4023 | 19841012 < |
| | FI 82250 | В | 19901031 | | |
| | FI 82250 | С | 19910211 | | |
| | NO 8404090 | Α | 19850415 | NO 1984-4090 | 19841012 < |
| | NO 167573 | В | 19910812 | | |
| | NO 167573 | С | 19911120 | | |
| | AU 8434190 | A1 | 19850418 | AU 1984-34190 | 19841012 < |
| | AU 573268 | B2 | 19880602 | | |
| | DK 8404895 | Α | 19850523 | DK 1984-4895 . | 19841012 < |
| | DD 223453 | A 5 | 19850612 | DD 1984-268285 | 19841012 < |
| | HU 35264 | 0 | 19850628 | HU 1984-3830 | 19841012 < |
| | | | | | |

| | HU 194248 | В | 19880128 | | |
|------|--------------------|------------|----------|-----------------|------------|
| • | ZA 8407982 | Α | 19860528 | ZA 1984-7982 | 19841012 < |
| | SU 1340590 | A 3 | 19870923 | SU 1984-3804830 | 19841012 < |
| | CA 1263644 | Al | 19891205 | CA 1984-465259 | 19841012 < |
| | JP 60120881 | A2 | 19850628 | JP 1984-216022 | 19841015 < |
| | PL 150059 | B1 | 19900430 | PL 1984-250031 | 19841015 < |
| PRAI | US 1983-542310 | Α | 19831014 | | |
| | US 1984-649516 | Α | 19840913 | | |
| | EP 1984-306831 | Α | 19841008 | | |
| os | CASREACT 104:68673 | | | | |
| GT | | | | | |

HOCHMe
$$\sim$$
 SR \sim CO₂R¹ \sim 1

AB The title compds. I (R = N heterocyclyl, N heterocyclylalkyl; R1 = H, ester group) were prepared Thus, (pyrrolidonylthio)penemcarboxylate II was prepared from 4-acetoxy-3-(1-tert-butyldimethylsilyloxyethyl)-2-azetidinone in 7 steps via the 2-ethylsulfinylpenem.

IT 97899-91-7P 97899-96-2P 97900-01-1P 97900-02-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and desilylation of)

RN 97899-91-7 HCAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 6-[1-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]-7-oxo-3-[(2-oxo-3-pyrrolidinyl)thio]-, (4-nitrophenyl)methyl ester, [5R-[5α,6α(R*)]]- (9CI) (CA INDEX NAME)

RN 97899-96-2 HCAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, $6-\{1-[[(1,1-\text{dimethylethyl})\text{dimethylsilyl}]\text{oxy}]\text{ethyl}\}-3-[(2,5-\text{dioxo-3-pyrrolidinyl})\text{thio}]-7-oxo-, (4-\text{nitrophenyl})\text{methyl ester,} [5R-[5\alpha,6\alpha(R^*)]]- (9CI) (CA INDEX NAME)$

Absolute stereochemistry.

RN 97900-01-1 HCAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 6-[1-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]-7-oxo-3-[(2-oxo-3-piperidinyl)thio]-, (4-nitrophenyl)methyl ester, [5R-[5 α , 6 α (R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 97900-02-2 HCAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, $6-[1-[[(1,1-\text{dimethylethyl})\text{dimethylsilyl}]\text{oxy}]\text{ethyl}]-3-[(1-\text{methyl}-2-\text{oxo}-3-\text{piperidinyl})\text{thio}]-7-\text{oxo-}, (4-\text{nitrophenyl})\text{methyl ester}, \\ [5R-[5\alpha,6\alpha(R^*)]]- (9CI) (CA INDEX NAME)$

IT 97899-64-4P 97899-70-2P 97899-83-7P

97948-08-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and hydrogenolysis of)

RN 97899-64-4 HCAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 6-(1-hydroxyethyl)-7-oxo-3-[(2-oxo-3-pyrrolidinyl)thio]-, (4-nitrophenyl)methyl ester, [5R-[5\alpha,6\alpha(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 97899-70-2 HCAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 6-(1-hydroxyethyl)-3-[(1-methyl-2-oxo-3-piperidinyl)thio]-7-oxo-, (4-nitrophenyl)methyl ester, $[5R-[5\alpha,6\alpha(R^*)]]$ - (9CI) (CA INDEX NAME)

RN 97899-83-7 HCAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid,
 3-[(2,5-dioxo-3-pyrrolidinyl)thio]-6-(1-hydroxyethyl)-7-oxo-,
 (4-nitrophenyl)methyl ester, [5R-[5α,6α(R*)]]- (9CI) (CA
 INDEX NAME)

Absolute stereochemistry.

RN 97948-08-8 HCAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid,
6-(1-hydroxyethyl)-7-oxo-3-[(2-oxo-3-piperidinyl)thio]-,
(4-nitrophenyl)methyl ester, [5R-[5α,6α(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 97899-45-1 HCAPLUS CN 4-Thia-1-azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 3-[(2,5-dioxo-3-pyrrolidinyl)thio]-6-(1-hydroxyethyl)-7-oxo-, [5R-[5 α ,6 α (R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 97899-49-5 HCAPLUS
CN 4-Thia-1-azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid,
6-(1-hydroxyethyl)-7-oxo-3-[(2-oxo-3-piperidinyl)thio]-,

 $[5R-[5\alpha,6\alpha(R^*)]]-(9CI)$ (CA INDEX NAME)

Absolute stereochemistry.

RN 97899-50-8 HCAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 6-(1-hydroxyethyl)-3-[(1-methyl-2-oxo-3-piperidinyl)thio]-7-oxo-, [5R-[5 α ,6 α (R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 97899-79-1 HCAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 6-(1-hydroxyethyl)-7-oxo-3-[(2-oxo-3-piperidinyl)thio]-, monosodium salt, [5R-[5 α , 6 α (R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Na

RN 97899-80-4 HCAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 6-(1-hydroxyethyl)-3-[(1-methyl-2-oxo-3-piperidinyl)thio]-7-oxo-, monosodium salt, [5R-[5α,6α(R*)]]- (9CI) (CA INDEX NAME)

Na

RN 97899-84-8 HCAPLUS CN 4-Thia-1-azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, $3-[(2,5-\text{dioxo}-3-\text{pyrrolidinyl})\text{thio}]-6-(1-\text{hydroxyethyl})-7-oxo-, monosodium salt, [5R-[5<math>\alpha$,6 α (R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Na

RN 97918-98-4 HCAPLUS CN 4-Thia-1-azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 6-(1-hydroxyethyl)-7-oxo-3-[(2-oxo-3-pyrrolidinyl)thio]-, monosodium salt, [5R-[5 α , 6 α (R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Na

L5 ANSWER 18 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1985:6049 HCAPLUS

DN 102:6049

2-Unsaturated alkylthiopen-2-em-3-carboxylic acids ΤI

Dininno, Frank P.; Leanza, William J.; Ratcliffe, Ronald W.; Muthard, IN David A.

Merck and Co., Inc. , USA PA

SO Eur. Pat. Appl., 114 pp.

CODEN: EPXXDW

DT Patent

LA English

| FAN. | CNT 1 | | | |
|----------|---------------------|----------------------------|-----------------|------------|
| | PATENT NO. | KIND DATE | APPLICATION NO. | DATE |
| PI | EP 115308 EP 115308 | A2 19840808
A3 19841010 | EP 1984-100579 | 19840120 < |
| | R: CH, DE, FR, | GB, IT, LI, NL | | |
| | US 4610823 | A 19860909 | US 1983-460729 | 19830125 < |
| | US 4675317 | A 19870623 | US 1983-460728 | 19830125 < |
| | EP 320497 | A1 19890614 | EP 1989-101894 | 19840120 < |
| | R: CH, DE, FR, | GB, IT, LI, NL | | |
| | JP 59139386 | A2 19840810 | JP 1984-10368 | 19840125 < |
| | JP 05016433 | B4 19930304 | | |
| | JP 05017482 | A2 19930126 | JP 1991-314685 | 19911128 < |
| | JP 05032667 | A2 19930209 | JP 1991-314687 | 19911128 < |
| | JP 05032668 | A2 19930209 | JP 1991-314688 | 19911128 < |
| | JP 05310759 | A2 19931122 | JP 1991-314686 | 19911128 < |
| PRAI | US 1983-460728 | A 19830125 | • | |
| | US 1983-460729 | A 19830125 | | |
| | EP 1984-100579 | P 19840120 | | |
| OS
GI | CASREACT 102:6049 | | • | |

R1 H On
$$SCR^2 = CR^3R^4$$
 Me C NR^5 NR^6 NR

Alkylthiopenems I [R-R3 = H, (un) substituted alkyl, alkoxy, alkenyl, AΒ halogen, aralkyl, aryl, heterocyclyl, heterocyclylalkyl; R2R3 = bond; R4 = acyl, cyano, SO2Ph, (un) substituted CO2H, CONH2, COSH; n = 0, 1] were prepared Thus II (R5 = OAc, R6 = H) was treated with Ph3SH and BrCH2CO2CH2CH: CH2 to give II (R5 = SCPh3, R6 = CH2CO2CH2CH: CH2) which was

treated with AgNO3 and ClCSOPh to give II (R5 = S2COPh, R6 = CH2CO2CH2CH:CH2). The latter compound was cyclized, treated with HC.tplbond.CCO2Me, and deblocked to give (E)-III and (Z)-III.

IT 93553-17-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and desilylation of)

RN 93553-17-4 HCAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 6-[1-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]-3-[(2,5-dioxo-3-pyrrolidinyl)thio]-7-oxo-, 2-propenyl ester, [5R-[5 α ,6 α (R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 93553-23-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and saponification of)

RN 93553-23-2 HCAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid, 3-[(2,5-dioxo-3-pyrrolidinyl)thio]-6-(1-hydroxyethyl)-7-oxo-, 2-propenyl ester, [5R-[5α,6α(R*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 93553-29-8P

RN 93553-29-8 HCAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]hept-2-ene-2-carboxylic acid,

3-[(2,5-dioxo-3-pyrrolidinyl)thio]-6-(1-hydroxyethyl)-7-oxo-, monopotassium salt, $[5R-[5\alpha,6\alpha(R^*)]]-(9CI)$ (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 19 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN

1984:85605 HCAPLUS AN

100:85605 DN

Sulfinyl- and sulfonylazacycloheptan-2-ones and their use as feed ΤI additives

Fengler, Gerd; Botta, Artur; Scheer, Martin; Berschauer, Friedrich D. IN

Bayer A.-G. , Fed. Rep. Ger. Ger. Offen., 38 pp. PA

SO

CODEN: GWXXBX

DTPatent

| LA | German | | | | |
|------|---------------------|--------|-------------|-----------------|------------|
| FAN. | CNT 1
PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
| PI | | A1 | 19831110 | | 19820508 < |
| | EP 93949 | A1 | 19831116 | EP 1983-104096 | 19830427 < |
| | EP 93949 | В1 | 19860312 | | |
| | R: AT, BE, CH, | DE, FR | , GB, IT, L | I, LU, NL, SE | |
| | AT 18553 | | | AT 1983-104096 | |
| | US 4468392 | Α | 19840828 | US 1983-488948 | 19830428 < |
| | AU 8314166 | A1 | 19831110 | AU 1983-14166 | |
| | CS 240961 | В2 | 19860313 | CS 1983-3146 | 19830504 < |
| | FI 8301549 | Α | 19831109 | | |
| | DK 8302048 | Α | 19831109 | | |
| | BR 8302389 | Α | 19840110 | BR 1983-2389 | 19830506 < |
| | ES 522166 | A1 | 19840201 | ES 1983-522166 | 19830506 < |
| | HU 32078 | 0 | 19840628 | HU 1983-1578 | 19830506 < |
| | ни 191833 | В | 19870428 | | |
| | ZA 8303234 | Α | 19840829 | ZA 1983-3234 | 19830506 < |
| | JP 58208274 | A2 | 19831203 | JP 1983-77647 | 19830507 < |
| | CS 240988 | В2 | 19860313 | CS 1984-201 | 19840110 < |
| | CS 240989 | В2 | 19860313 | CS 1984-202 | 19840110 < |
| PRAI | DE 1982-3217373 | | 19820508 | | |
| | EP 1983-104096 | | 19830427 | | |
| | CS 1983-3146 | | 19830504 | | |
| os | CASREACT 100:85605 | | | | • |
| GI | | | - | | |

$$R^3$$
 NR^1
 O_{nSR^2}
 I
 NH
 O_{m}
 $O_$

AB The title compds. I [R1 = H, (un)substituted alkyl, aryl, or acyl, and alkylcarbamoyl; R2 = (un)substituted alkyl, alkenyl, cycloalkyl, aralkyl, aryl, or heterocyclyl, sulfinyl or sulfonyl in α - to δ -position; R3 = H, alkyl, in α - to ϵ -position; n = 1, 2], useful as feed additives, were prepared by 2 methods. Treating 4-ClC6H4SH and NaOMe in MeOH with α -bromocaprolactam in MeOH and stirring 3 h at room temperature after the end of the exothermic reaction gave 98% sulfide II (m = 0) which was oxidized in AcOH with 30% H2O2 in 48 h at room temperature to give 72% sulfoxide II (m = 1).

IT 88833-22-1

RL: RCT (Reactant); RACT (Reactant or reagent)

(oxidation of)

RN 88833-22-1 HCAPLUS

CN 2H-Azepin-2-one, 3-(2-benzothiazolylthio)hexahydro- (9CI) (CA INDEX NAME)

IT 88833-00-5

RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation as feed additive)

RN 88833-00-5 HCAPLUS

CN 2H-Azepin-2-one, 3-(2-benzothiazolylsulfinyl)hexahydro- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & \circ & \\ & \parallel & \\ & s & \\ & &$$

L5 ANSWER 20 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1981:139657 HCAPLUS

DN 94:139657

TI Reaction of 2-thiopyridones with dienophiles

AU Pilipenko, V. S.

CS USSR

SO Deposited Doc. (1979), VINITI 3782, 172-3 Avail.: VINITI

DT Report

LA Russian

GΙ

Diels-Alder adducts I (R = Me, Et, Pr, Rl = R2 = H; R = Rl = Me, R2 = H; R = Me, Rl = H, R2 = Me) were prepared by treatment of II with N-phenylmaleimide. Addnl. obtained were III [Rl-R3 = H; Rl = H, R2R3 = (CH2)4; Rl = H, R2 = Pr, R3 = Me] from the corresponding IV, and disulfides V [R1 = R2 = H; R1R2 = (CH2)4] from the appropriate thiopyridone and N-phenyltriazolidinedione.

IT 73866-62-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

RN 73866-62-3 HCAPLUS

CN 2,5-Pyrrolidinedione, 1-phenyl-3-[(5,6,7,8-tetrahydro-2-quinolinyl)thio]-(9CI) (CA INDEX NAME)

10/716,238

- ANSWER 21 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN L5
- 1980:446347 HCAPLUS ΑN
- 93:46347 DN
- Diels-Alder reaction with 2-pyrones and 2-pyridones. XXVI. Reaction of ΤI 1H-2-thiopyridones with N-phenylmaleimide
- Pilipenko, V. S.; Alimirzoev, F. A.; Stepanyants, A. U. Mosk. Gos. Univ., Moscow, USSR ΑU
- CS
- SO Zhurnal Organicheskoi Khimii (1979), 15(12), 2586-90 CODEN: ZORKAE; ISSN: 0514-7492
- DT Journal
- LΑ Russian
- CASREACT 93:46347 OS
- GI

$$R^1$$
 R^2
 R^2

- The title reaction with pyridinethiones I (R = R1 = R2 = H; R = H, R1R2 =AΒ (CH2)4; R = Me, R1 = R2 = H; R = H, R1 = Pr, R2 = Me) gave 90-5% pyridylthiosuccinimides II. H and 13C NMR of I and II were tabulated.
- IT 73866-62-3P
 - RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (preparation and NMR of)
- RN 73866-62-3 HCAPLUS
- 2,5-Pyrrolidinedione, 1-phenyl-3-[(5,6,7,8-tetrahydro-2-quinolinyl)thio]-CN (9CI) (CA INDEX NAME)

- L5 ANSWER 22 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN
- AN 1978:439064 HCAPLUS
- DN 89:39064
- Nucleoside transport in mammalian cell membranes. IV. Organomercurials TI and organomercurial-mercaptonucleoside complexes as probes for nucleoside transport systems in hamster cells
- ΑU Bibi, O.; Schwartz, J.; Eilam, Y.; Shohami, E.; Cabantchik, Z. I.

CS Inst. Life Sci., Hebrew Univ., Jerusalem, Israel

SO Journal of Membrane Biology (1978), 39(2-3), 159-83 CODEN: JMBBBO; ISSN: 0022-2631

DT Journal

LA English

Organomercurials from stable stoichiometric complexes with thiolated AB nucleosides. The complexes inhibited uptake of ribonucleosides and cytosine arabinoside (CAR) in various types of normal and transformed cells. The inhibition was competitive and reversible (Ki = $3-6 \mu M$). The interaction between complexes and transport system displayed a 1:1stoichiometry. Chemical factors which contributed to the inhibitory power evaluated with a series of S-alkylated derivs. and S-Hg-R complexes of mercaptonucleosides. The inhibitory potency was not determined exclusively by the hydrophobic nature of either the S-alkylated or the S-Hg-R moieties. Chemical modification of cells with penetrating and nonpenetrating organomercurials lead to stimulation of nucleoside uptake and to an increase in its susceptibility to inhibition by S-Hq-R complexes or S-alkylated derivs. of mercaptopurine ribosides. The kinetic and chemical data obtained with nucleoside analogs and with chemical modifiers suggested complex features of nucleoside transport systems. Four distinct classes of sites were implied. (1) A substrate binding site exists which is susceptible directly to competitive inhibition by organomercurialmercaptonucleoside complexes. (2) An addnl. site exists which is susceptible either to S-arylalkylated or S-mercuriated derivs. of 6-mercaptopurine ribosides. (3) SH-containing modifier site exists which stimulates uridine uptake upon binding of organomercurials. (4) Finally, a SH-containing modifier site exists which inhibited the function upon binding of organomercurials. From the observation that only SH sites related to stimulation were susceptible to modification by macromol.-SH modifier probes, some conclusions can be drawn regarding the disposition of the various sites in the cell membrane in general and among membrane components in particular.

IT 67055-86-1 67055-87-2

RL: ANST (Analytical study)

(nucleoside transport in presence of)

RN 67055-86-1 HCAPLUS

CN Inosine, 6-S-(1-ethyl-2,5-dioxo-3-pyrrolidinyl)-6-thio- (9CI) (CA INDEX NAME)

RN 67055-87-2 HCAPLUS

CN Guanosine, 6-S-(1-ethyl-2,5-dioxo-3-pyrrolidinyl)-6-thio- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 23 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1978:138876 HCAPLUS

DN 88:138876

TI Synthesis of N-(phenylcarbamoyl) succinamic acids and study of them as additives for synthetic oils

AU Zeinalova, G. A.; Kyazimova, N. S.; Nagieva, E. A.

CS Inst. Khim. Prisadok, Baku, USSR

SO Neftekhimiya (1977), 17(6), 935-8 CODEN: NEFTAH; ISSN: 0028-2421

DT Journal

LA Russian

AB N- and S-containing derivs. of N-(phenylcarbamoyl) succinamic acid reduced the oxidation of pentaerythritol ester lubricating oils by air at 225° in the presence of Al, steel, and Cu coupons. The α -amino-N-(phenylcarbamoyl) succinamic acids had the best antioxidant properties.

IT 65678-64-0P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and antioxidant properties of, in synthetic-ester lubricating oils)

RN 65678-64-0 HCAPLUS

CN 1-Pyrrolidinecarboxamide, 3-(2-benzothiazolylthio)-2,5-dioxo-N-phenyl-(9CI) (CA INDEX NAME)

10/716,238

L5 ANSWER 24 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1974:419251 HCAPLUS

DN 81:19251

TI Photographic fog inhibitors

IN Abele, Werner; Schneider, Rudolf

PA Du Pont de Nemours (Deutschland) G.m.b.H.

SO Ger. Offen., 21 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN. CNT 1

| 1.711 | ·ONII | | | | |
|-------|-------------------|------|----------|-----------------|------------|
| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
| | | | | | |
| ΡI | DE 2250136 | A1 | 19740502 | DE 1972-2250136 | 19721013 < |
| | DE 2250136 | B2 | 19751009 | | |
| | DE 2250136 | C3 | 19760520 | | |
| | US 3888677 | Α | 19750610 | US 1973-405801 | 19731012 < |
| | GB 1402819 | Α | 19750813 | GB 1973-47853 | 19731012 < |
| | JP 49074930 | A2 | 19740719 | JP 1973-114375 | 19731013 < |
| | JP 55017369 | B4 | 19800510 | | |
| PRA: | I DE 1972-2250136 | | 19721013 | | |
| | | | | | |

GI For diagram(s), see printed CA Issue.

The thio ethers I (R = e.g. 1-phenyl-5-tetrazolyl, 2-benzothiazolyl, 4-acetamidophenyl, or 2-benzoxazolyl), stable at slightly acid or neutral pH (of the photog. emulsion) and releasing fog-inhibiting thiols at alkaline pH, were used in photog. emulsions for prevention of fog caused by overdevelopment without impairing the sensitivity. Thus, a highly sensitive Ag(Br,I) emulsion containing 0.3 mmole I (R = 1-phenyl-5-tetrazolyl)/mole AgBr was kept 1 hr at 35°, coated on a polyester support, exposed, and developed with an alkaline hydroquinone developer for 50 sec at 35° to give fog 0.28 and relative sensitivity 141 vs. 0.40 and 148, resp., for a I-free emulsion.

IT 53013-95-9 53013-99-3

RL: TEM (Technical or engineered material use); USES (Uses) (photog. fog inhibitor)

RN 53013-95-9 HCAPLUS

CN 2,5-Pyrrolidinedione, 3-(2-benzothiazolylthio)-1-ethyl- (9CI) (CA INDEX NAME)

RN 53013-99-3 HCAPLUS

CN 2,5-Pyrrolidinedione, 3-(2-benzoxazolylthio)-1-ethyl- (9CI) (CA INDEX NAME)

L5 ANSWER 25 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1961:144021 HCAPLUS

DN 55:144021

OREF 55:27231g-i,27232a-h

TI Derivatives of fluorene. XVI. N-(9-Fluorenyl)maleamic acids and maleimides

AU Pan, Hsi-Lung; Fletcher, T. Lloyd

CS Univ. of Washington, Seattle

SO Journal of Organic Chemistry (1961), 26, 2244-7

CODEN: JOCEAH; ISSN: 0022-3263

DT Journal

LA Unavailable

C5H5N (80 ml.) containing 21.8 g. 9-amino-fluorene-HCl treated portionwise AΒ with stirring 5 min. at 10° with 23.1 g. (CF3CO)2O, the mixture kept 30 min. at 20° and 15 min. at 100°, the cooled mixture diluted with H2O, and the precipitate crystallized from MeOH gave N-(9fluorenyl)trifluoroacetamide (I), m. 252-3°. I (1.9 g.) stirred 10 min. at 75° in 15 ml. AcOH and 1 ml. concentrated H2SO4 with portionwise addition of 0.7 ml. HNO3 (d. 1.42) and 1.5 ml. AcOH, the mixture stirred 5 min. at 75-80° before cooling and diluting with H2O, filtered and the product crystallized from MeOH-C6H6 yielded 71% N-[9-(2nitrofluorenyl)]trifluoroacetamide (II), m. 236-7°. II (0.1 g.) refluxed 1 hr. in 8 ml. 1:1 9N H2SO4AcOH with 0.3 g. K2Cr2O7 and the mixture diluted with H2O yielded 70% 2-nitrofluorenone, m. 225-6°. II (2 g.) refluxed 9 hrs. in 8 ml. concentrated HCl and 50 ml. alc., the solvent removed in vacuo and the crystalline product washed with 6N HCl yielded 96% dried 2-nitro-9-fluorenamine-HCl (III), m. 206° (decomposition). III (0.5 g.) in 20 ml. AcOH containing 0.2 g. anhydrous NaOAc heated, the hot solution added instantaneously with rapid stirring to 0.3 g. maleic anhydride in 5 ml. AcOH, the mixture stirred 30 min. and kept 1 hr. before diluting with H2O gave 0.55 g. N-[9-(2-nitrofluorenyl)] maleamic acid (IV), m. 208.5-9.5° (decomposition). Attempts to form the corresponding maleimide (V) in Ac2O in the presence of fused NaOAc gave a dark purple non-crystallizable solid. IV (0.5 g.) refluxed 7 hrs. in 25 ml. AcOH, the solvent removed and the solidified product recrystd. from alc. gave 0.33 g. V, m. 242.5-3.5°. II (3 g.) reduced in 150 ml. alc. by boiling with 1.5 ml. 100% N2H4.H2O and Raney Ni gave 2.6 g. N-[9-(2aminofluorenyl)]trifluoroacetamide (VI), m. 267-8°; N-Ac derivative, m. $297.5-9.0^{\circ}$ (decomposition), hydrolyzed (0.1 g.) by boiling 1 min. in 1 ml. alc. and 4 ml. 2% aqueous NaOH to give 2-acetamido-9-fluorenamine (VII). HONH2.HCl (9 g.) and 13.1 g. anhydrous NaOAc in 40 ml. H2O stirred with addition

of 15.8 g. 2-acetamidofluorenone in 100 ml. alc., the mixture refluxed 10 min. and the cooled mixture diluted with H2O yielded 92% 2-acetamidofluorenone oxime, m. 238-9° (decomposition), reduced (2 g.) in 13 ml. 12:1 AcOHH2O by heating 20 min. at 90-5° (H2O bath) with 2.6 g. Zn dust to give 1.9 g. VII, m. 160-3° (decomposition), recrystd. from Me2CO to yield N-[2-(9-isopropylideneaminofluorenyl)]acetamide, m. 209-11°

(decomposition). Maleic anhydride (3 g.) stirred in 20 ml. AcOH 20 min. with dropwise addition of 6.8 g. VII in 60 ml. AcOH, the thin paste stirred 2 hrs. and the residue on filtration washed with AcOH and dried gave N-[9-(2-acetamidofluorenyl)]maleamic acid, m. 213-14° (decomposition). The acid (2 g.) refluxed 22 hrs. in 50 ml. AcOH with 2 g. anhydrous NaOAc, the solvent removed and the residue triturated in ice-H2O, the dried solid extracted with boiling C6H6 and the product on evaporation crystallized from Me2CO gave

a small amount of unidentified solid, m. above 280° and 0.2 g. N-[9-(2-acetamidofluorenyl)]maleimide, m. 221-3° (C6H6). Maleic anhydride (5.5 g.) stirred in 20 ml. AcOH with gradual addition of 9.05 g. 9-aminofluorene in 40 ml. warm AcOH, the mixture stirred 30 min. and heated 15 min. on steam bath, cooled and diluted with H2O yielded 98% N-(9-fluorenyl)maleamic acid (VIII), m. 201.0-3.5 $^{\circ}$ (decomposition). Maleic anhydride (1.1 g.), 2.18 g. 9-aminofluorene-HCl, and 0.9 g. anhydrous NaOAc refluxed with stirring 2 hrs. in 23 ml. AcOH, the cooled mixture diluted with H2O and the gummy solid crystallized from MeOH-H2O and C6H6-ligroine gave a small amount of VIII and 0.2 g. N-(9-fluorenyl)maleimide (IX), m. 174-5° (MeOH-H2O). IX (0.05 g.) in 3 ml. Me2CO treated dropwise in 5 min. with 1.1 equivs. $N-[2-(\alpha-thionaphthyl)]$ acetamide, the mixture stirred 20 min. and concentrated, the oily product treated with MeOH and the solid material recrystd. from Me2CO-MeOH gave 0.08 g. N-(9fluorenyl) α -{S-[1-(2-acetamidonaphthyl)]thio}succinimide, m. 211-12°. VI (0.2 g.) treated with 0.14 g. maleic anhydride in 10 ml. AcOH gave 0.27 g. N-[2-(9-trifluoroacetamidofluorenyl)]maleamic acid (X), m. 225-7° (decomposition). X (7.8 ml.) cyclized in 30 ml. Ac20 containing 1.2 g. fused NaOAc gave 7.1 g. (crude) material, m. 262-3° (C6H6). X (4 g.) in 50 ml. N NaOH heated 3 min. on a steam bath, the cooled filtered solution acidified (ice bath) to pH 4 with HCl to give 3.3 g. yellow precipitate, m. 185-90° (decomposition), the precipitate (1 g.) heated

on a steam bath with shaking with 6 ml. Ac20 containing 0.15 g. NaOAc, the cooled mixture stirred in 10% NaOAc and excess Ac20 destroyed with 5% Na2CO3, and the washed and dried precipitate (0.9 g.) recrystd. from Me2CO-C6H6-ligroine gave 0.85 g. unidentified material, m. 209-11°, and not the expected 9-acetamido analogmaleimide. Attempts to prepare 2,9-dimaleimidofluorene from 2,9-diaminofluorene were unsuccessful. Ultraviolet and infrared data were given.

- IT 104176-13-8, Acetamide, N-[1-(1-fluoren-9-yl-2,5-dioxo-3-pyrrolidinylthio)-2-naphthyl](preparation of)
 - RN 104176-13-8 HCAPLUS
 - CN Acetamide, N-[1-(1-fluoren-9-yl-2,5-dioxo-3-pyrrolidinylthio)-2-naphthyl]-(6CI) (CA INDEX NAME)

L5 ANSWER 26 OF 26 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 1961:131149 HCAPLUS

DN 55:131149

OREF 55:24696d-i,24697a-g

TI Derivatives of fluorene. XIV. N-(Substituted-fluorenyl) maleimides

AU Fletcher, T. Lloyd; Pan, Hsi-Lung

CS Univ. of Washington, Seattle

SO Journal of Organic Chemistry (1961), 26, 2037-43 CODEN: JOCEAH; ISSN: 0022-3263

DT Journal

LA Unavailable

GI For diagram(s), see printed CA Issue.

cf. CA 55, 23462a. A series of fluorenylmaleamic acids and maleimides and AΒ some sulfhydryl addition compds. with the latter were reported. Substituents with differing electron-withdrawing or donor properties might lead to compds. with differing biol. activities. N-(7-Fluoro-2fluorenyl) isomaleimide (I) was produced in equal quantity with the normal maleimide in a standard cyclization procedure. No other instance of isomaleimide formation was observed. Infrared and ultraviolet spectral data were included and discussed. Wolff-Kishner reduction of 9-oxo-4-fluorenamine gave 4-fluorenamine, m. 114-15°. 7-Acetamidoand 7-bromo-2-fluorenamine, m. 146-7°, were obtained by reduction of the corresponding nitro compds. All the N-fluorenylmaleamic acids were prepared by treating maleic anhydride (II) with fluorenamines in a solvent, such as MeOH, Me2CO, or AcOH. The maleimides were made by cyclodehydration of the maleamic acids in Ac20 in the presence of fused NaOAc. The following examples were typical. II (35 g.) in 250 ml. MeOH treated in 0.5 hr. with 55 g. 2-amino-fluorene in 750 ml. MeOH, the suspension stirred 1 hr. at room temperature, filtered, a 2nd portion of 17 g. II in 50 ml. MeOH added to the filtrate, the mixture stirred 0.5 hr., filtered, and the ppts. combined gave 82 g. N-(2-fluorenyl) maleamic acid (III). III (75.7 g.), 13.5 g. fused NaOAc, and 270 ml. Ac2O heated 0.5 hr., left 1 hr. at room temperature, and added to ice H2O gave 64 g. N-(2-fluorenyl)maleimide. 2-Aminofluorenol (7.9 g.) in 260 ml. refluxing Me2CO added in 5 min. to 5 g. II in the same solvent, the mixture stirred 1hr., and the product dried gave 11.7 g. N-(9-hydroxy-2-fluorenyl)maleamic acid (IV). IV (11.7 g.) warmed 15 min. with 1.5 g. NaOAc and 80 ml. Ac2O, the mixture left 0.5 hr. at room temperature and stirred into 5% NaHCO3, and

the

precipitate recrystd. gave 8.8 g. N-(9-acetoxy-2-fluorenyl)maleimide.

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2-Acetamido-7-aminofluorene (22 g.) in 200 ml. AcOH added in 5 min. to 11
g. II in 100 ml. AcOH gave 31 g. N-(7-acetamido-2-fluorenyl) maleamic acid
(V). V (15.6 g.), 2.4 g. fused NaOAc, and 120 ml. Ac20 heated 40 min.
gave 10.5 g. N-(7-acetamido-2-fluorenyl)maleimide (CHCl3-alc.).
N-(9-Oxo-4-fluorenyl) maleamic acid (4 g.), 0.8 g. fused NaOAc, and 25 ml.
Ac20 heated 15 min. and stirred into 10% NaOAc solution gave 3.2 g.
N-(9-oxo-4-fluorenyl) maleimide. 4-Fluorenamine (VI) (3.6 g.) in 35 ml.
AcOH added to 2.2 g. II in 15 ml. AcOH, and the mixture stirred 2 hrs. and
diluted with H2O gave 4.7 g. N-(4-fluorenyl)maleamic acid (VII). VI (5 g.)
and 2.95 g. II in 50 ml. AcOH stirred 1 hr. at 50° gave 7.4 g. VII.
N-(7-Fluoro-2-fluorenyl)maleamic acid (3 g.), 0.5 g. fused NaOAc, and 10
ml. Ac20 heated 15 min., cooled, stirred into 10% NaOAc, and the excess
Ac20 destroyed with 5% NaHCO3 gave 2.7 g. N-(7-fluoro-2-
fluorenyl)maleimide, m. 243.5-5.0°. I (1.4 g.), obtained from the
C6H6 filtrates, m. 144-5.5° (C6H6-ligroine). II (1.1 g.) in 60 ml.
AcOH mixed with 3 g. 9-bromo-2-fluorenamine.HBr, stirred 0.5 hr. with 0.9
q. anhydrous NaOAc gave 3.1 q. N-(9-bromo-2-fluorenyl)maleamic acid, m.
above 300°. NaBH4 reduction of 3-aminofluorenone gave 58%
3-amino-9-fluorenol, m. 146-7° (decomposition). N-(2-
Fluorenyl)maleimide (0.25 g.) and 0.2 g. N-(1-mercapto-2-
naphthyl)acetamide each in 40 ml. alc. refluxed 1 min., then 2 min., and
cooled gave 0.45 g. N-(2-fluorenyl)-\alpha-(2-acetamido-1-
naphthylthio) succinimide, m. 250-1° (AcOH). A similar reaction for
0.5 hr. in refluxing Me2CO with cetyl mercaptan gave 40%
N-(2-fluorenyl)-\alpha-(hexadecylthio) succinimide, m. about 105°.
2-Mercaptoethylamine-HCl (0.25 g.) and 0.4 g. anhydrous NaOAc stirred into 10
ml. Me2CO, treated with H2O, then stirred with addition of 0.5 g. N-(2-fluorenyl)maleimide in 20 ml. Me2CO in 15 min. gave 0.3 g.
N-(2-fluorenyl)-\alpha-(2-aminoethylthio) succinimide, m.
243.5-4.5° (Me2CO). Equimolar amts. of N-(7-fluoro-2-fluorenyl)maleimid, the high melting isomer, and N-(mercapto-2-
naphthyl)acetamide (VIII) treated with refluxing Me2CO gave 90%
N-[2-(7-fluorofluorenyl)-\alpha-(2-acetamido-1-naphthylthio) succinimide,
m. 257-8^{\circ}. The addition of the low-melting isomer and VIII gave 80\% product, m. 2555-6.5^{\circ}, similar to the above compound N-Phenylmaleimi
de, m. 89.5-90.0°, prepared from N-phenylmaleamic acid, allowed to
react with VIII in Me2CO gave 83% N-phenyl-\alpha-(2-acetamido-1-
naphthylthio) succinimide, m. 201.5-2.5°. The following
RNHCOCH: CHCO2H were thus obtained (R, % yield, m.p. given): 1-fluorenyl,
98.5, 179.5-81.5° (decomposition); 1-(9-oxofluorenyl), 100,
203.5-5.5° (decomposition); 2-fluorenyl, 97, 220-8° (decomposition);
2-(7-acetamidofluorenyl), 100, 218-20° (decomposition);
2-(7-bromofluorenyl), 99, 230-5° (decomposition); 2-(9-bromofluorenyl),
99-100°, above 300°;
                                        2-(7-fluorofluorenyl),
100, 226-30° (decomposition); 2-(7-nitrofluorenyl), 100, 253-5°
(decomposition); 2-(3-bromo-9-oxofluorenyl), 97, 217-18.5° (decomposition);
2-(9-hydroxyfluorenyl), 99, 250-5° (decomposition); 2-(9-oxofluorenyl),
80, 225-30° (decomposition); 3-fluorenyl, 79, 197-9.5°
(decomposition); 3(9-hydroxyfluorenyl), 88, 191-3° (decomposition);
3-(9-oxofluorenyl), 77, 187-92° (decomposition); 4-fluorenyl, 84-95,
165.5-7.0° (slight decomposition); 4-(9-oxofluorenyl), 95,
193-4.5° (decomposition). The following RN.CO.CH:CH.CO were similarly prepared (R, % yield, m.p. given): 1-fluorenyl, 75,177-8°;
1-(9-oxofluorenyl), 85, 162.5-3.5°; 2-fluorenyl, 91,
186.5-8.0°; 2-(7-acetamidofluorenyl), 71, about 286°(glassy
melt); 2-(7-bromofluorenyl), 81, 204.5-5.5°; 2-(9-bromofluorenyl),
45, 193-4° (slight decomposition); 2-(7-fluorofluorenyl), 43,
244-5^{\circ} (the other isomer, 50% yield, m. 145-6^{\circ});
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10/716,238

2-(7-nitrofluorenyl), 85, above 300°; 2-(3-bromo-9-oxofluorenyl), 100, 234-4.5°; 2-(9-acetoxyfluorenyl), 88-92°, 219-20°; 2-(9-oxofluorenyl), 82, 223-4°; 3-fluorenyl, 77, 182-3°; 3-(9-oxofluorenyl), 100, 201.5-2.5°; 4-(9-oxofluorenyl), 85, 192-4°. 102704-64-3, Succinimide, 2-(2-acetamido-1-naphthylthio)-N-phenyl-IT 115035-18-2, Succinimide, 2-(2-acetamido-1-naphthylthio)-N-7fluorofluoren-2-yl-(preparation of) RN 102704-64-3 HCAPLUS CN Succinimide, 2-(2-acetamido-1-naphthylthio)-N-phenyl- (6CI) (CA INDEX NAME)

RN 115035-18-2 HCAPLUS
CN Succinimide, 2-(2-acetamido-1-naphthylthio)-N-7-fluorofluoren-2-yl- (6CI)
(CA INDEX NAME)

=> s 14 not 15 L6 5 L4 NOT L5

=> dis 16 1-5 bib abs

L6 ANSWER 1 OF 5 HCAPLUS COPYRIGHT 2004 ACS on STN

AN 2004:486395 HCAPLUS

DN 141:42891

TI Artificial low-density lipoprotein carriers for transport of substances across the blood-brain barrier

IN Nelson, Thomas J.; Quattrone, Alessandro; Alkon, Daniel L.

Blanchette Rockefeller Neurosciences Institute, USA PA

SO PCT Int. Appl., 41 pp.

CODEN: PIXXD2

DTPatent

English LΑ

FAN.CNT 1

| ran. | PATENT NO. | | | KIN | D | DATE | | į | APPL: | ICAT: | ION I | NO. | | D | ATE | | | | |
|------|------------|------------|------|------|-----|------|-----|------|-------|-------|-------|------|------|-----|-----|-----|------|-----|----|
| ΡI | WO | 2004050062 | | | | A2 | | 2004 | 0617 | 1 | wo 2 | 003- | IB55 | 58 | | 2 | 0031 | 202 | |
| | | W: | ΑE, | AG, | AL, | AM, | ΑT, | ΑU, | ΑZ, | BA, | BB, | BG, | BR, | BY, | BZ, | CA, | CH, | CN, | |
| | | | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, | GE, | |
| | | | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | ΚE, | KG, | KP, | KR, | ΚZ, | LC, | LK, | |
| | | | LR, | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NI, | NO, | NZ, | |
| | | | OM, | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SY, | ТJ, | TM, | |
| | | | TN, | TR, | TT, | TZ, | UA, | UG, | UZ, | VC, | VN, | YU, | ZA, | ZM, | ZW | | | | |
| | | RW: | BW, | GH, | GM, | ΚE, | LS, | MW, | MZ, | SD, | SL, | SZ, | TZ, | ŪĢ, | ZM, | ZW, | AM, | ΑZ, | |
| | | | BY, | KG, | KZ, | MD, | RU, | ТJ, | TM, | ΑT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | |
| | | | ES, | FI, | FR, | GB, | GR, | HU, | ΙE, | IT, | LU, | MC, | NL, | PT, | RO, | SE, | SI, | SK, | |
| | | | TR, | BF, | ВJ, | CF, | CG, | .CI, | CM, | GΑ, | GN, | GQ, | GW, | ML, | MR, | NE, | SN, | TD, | ΤG |
| | US | 2004 | 2043 | 54 | | Al | | 2004 | 1014 | 1 | US 20 | 003- | 7248 | 33 | | 2 | 0031 | 202 | |
| PRAI | US | 2002 | -430 | 476P | | P | | 2002 | 1203 | | | | | | | | | | |

This invention relates to a highly efficient artificial low-d. lipoprotein AB (LDL) carrier system for the targeted delivery therapeutic agents across the blood-brain barrier (BBB). In particular, this invention relates to artificial LDL particles comprised of three lipid elements: phosphatidyl choline, fatty-acyl-cholesterol esters, and at least one apolipoprotein... The present invention further relates to compns., methods and kits comprising artificial LDL particles for targeting drugs to and across the BBB for the prevention and treatment of brain diseases.

ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2004 ACS on STN L6

Ι

- 2003:711623 HCAPLUS AN
- 139:246832 DN
- Thiol maleimide adducts useful for vulcanization accelerators and ΤI compositions therewith
- IN Choi, Won-moon; Yatsuyanagi, Akira
- PA Yokohama Rubber Co., Ltd., Japan
- Jpn. Kokai Tokkyo Koho, 12 pp. SO CODEN: JKXXAF
- DTPatent
- Japanese LA

FAN. CNT 1

GI

| L MIA * | CNII | | | | |
|---------|-------------------|------|----------|-----------------|----------|
| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
| | | | | | |
| PI | JP 2003252872 | A2 | 20030910 | JP 2002-55572 | 20020301 |
| PRAI | JP 2002-55572 | | 20020301 | | |
| os | MARPAT 139:246832 | | | | |

- AB Title adducts are represented by the general formula I of which highly reactive thiol groups are protected, where R1 = C1-24 noncyclic aliphatic group, C5-18 cyclic aliphatic group, C6-18 aromatic group, or C7-24 alkylarom. group (may be substituted, may contain SO2, O, N, and/or S), R2 = independently C1-24 organic group having no active hydrogen (may be substituted). Thus, 0.1 mol 1,6-bismaleimidohexane and 0.2 mol 2-mercaptobenzothiazole were reacted to give an adduct. A composition comprising 100 parts Nipol IR 2200 and 3.5 parts adduct was vulcanized at 160-180° showing reduced reversion.
- L6 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2004 ACS on STN
- AN 2003:375555 HCAPLUS
- DN 139:190626
- TI Substituted quinazolines, Part 2. Synthesis and in-vitro anticancer evaluation of new 2-substituted mercapto-3H-quinazoline analogs
- AU Khalil, Ashraf A.; Abdel Hamide, Sami G.; Al-Obaid, Abdulrahman M.; El-Subbagh, Hussein I.
- CS Department of Pharmaceutical Chemistry, College of Pharmacy, King Saud University, Riyadh, 11451, Saudi Arabia
- SO Archiv der Pharmazie (Weinheim, Germany) (2003), 336(2), 95-103 CODEN: ARPMAS; ISSN: 0365-6233
- PB Wiley-VCH Verlag GmbH & Co. KGaA
- DT Journal
- LA English
- OS CASREACT 139:190626
- AB A new series of 2-substituted mercapto-3H-quinozolines bearing 6-iodo and 2-heteroarylthio functions was synthesized and screened for their in vitro antitumor activity. Eighteen compds. were identified as active anticancer agents. N'-[(3-Benzyl-4-oxo-6-iodo-3H-quinazoline-2-yl)thioacetyl]-N3-ethylthiosemicarbazide, N-benzoyl-N'-[2-(3-benzyl-4-oxo-6-iodo-3H-quinozolin-2-yl)thioacetyl]hydrazine, and 2-[(3,6-dioxo-pyridazin-4-yl)thio]-3-benzyl-4-oxo-6-iodo-3H-quinazoline proved to be the most active members in this study. They showed MG-MID, GI50 values of 12.8, 11.3, and 13.8 μM, resp. The detailed synthesis and biol. screening data are reported.
- RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L6 ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2004 ACS on STN
- AN 2002:928245 HCAPLUS
- DN 138:14055
- TI Preparation of substituted thioacetamides for treatment of sleep disorders
- IN Bacon, Edward R.; Chatterjee, Sankar; Dunn, Derek; Mallamo, John P.; Miller, Matthew S.; Tripathy, Rabindranath; Vaught, Jeffry L.
- PA Cephalon, Inc., USA
- SO U.S. Pat. Appl. Publ., 52 pp., Cont.-in-part of U.S. Ser. No. 855,228. CODEN: USXXCO
- DT Patent
- LA English
- FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|---------------|------------|----------|-----------------|----------|
| | | | | | |
| PΙ | US 2002183334 | A 1 | 20021205 | US 2001-14645 | 20011026 |
| | US 6670358 | В2 | 20031230 | | |
| | US 2002045629 | A1 | 20020418 | US 2001-855228 | 20010515 |
| | US 6492396 | В2 | 20021210 | | |

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WO 2003037853
                                      20030508
                                                    WO 2002-US34188
                                                                                 20021025
                             A1
               AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
               CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
               GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
               LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
               PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
               UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW
          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
      EP 1438288
                               Α1
                                      20040721
                                                 EP 2002-786511
                                                                                 20021025
          R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
               IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
                                                    BR 2002-13540
                                                                                20021025
      BR 2002013540
                               Α
                                      20041019
                                                                                20021114
                                      20040216
                                                     ZA 2002-9278
      ZA 2002009278
                               Α
                                                     US 2003-716238
                                                                                20031118
     US 2004116445
                               A1
                                      20040617
PRAI US 2000-204789P
                               Ρ
                                      20000516
     US 2001-268283P
                               Ρ
                                      20010213
                               A2
                                      20010515
     US 2001-855228
     US 2001-14645
                               Α
                                      20011026
     WO 2002-US34188
                               W
                                      20021025
     MARPAT 138:14055
OS
GΙ
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AB Title compds. I [Ar1-2 = (hetero)aryl; Y = alkylene, alkyl, (hetero)arylene, cycloalkylene, O, SOO-2, etc.; R3-4 = H, alkyl, OH, etc.; m, n = 0-3; q = 0-2] were prepared For instance, thiourea and 9-hydroxyfluorene were reacted (HBraq, 100-105°, 30 min) to afford the corresponding thiouronium salt. This was treated with NaOHaq and 3-bromopropionic acid to afford the sulfide-carboxylic acid and subsequently treated with SOCl2/NH4OH to give II. Selected example compds. possessed wake-promoting activity (rats). I are useful in the treatment of sleep disorders, Parkinson's disease, etc.

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L6 ANSWER 5 OF 5 HCAPLUS COPYRIGHT 2004 ACS on STN
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AN 2001:299110 HCAPLUS

DN 134:334220

TI Silver halide photographic material containing bleaching accelerator-releasing coupler and manufacture of the coupler

IN Kataoka, Emiko; Ishige, Osamu; Ishii, Fumio; Oshiyama, Tomohiro

PA Konica Co., Japan

SO Jpn. Kokai Tokkyo Koho, 43 pp. CODEN: JKXXAF

DT Patent

| LA Japanese
FAN.CNT 1 | | | | |
|--------------------------|------|----------|-----------------|----------|
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
| | | | | |
| PI JP 2001117204 | A2 | 20010427 | JP 1999-297162 | 19991019 |
| PRAI JP 1999-297162 | | 19991019 | | |
| OS MARPAT 134:334220 | | | | |
| GI | | | | |

The photog. material contains a coupler Coup-(Time)nSZ (Z = X, X1, A1(I), A2(II); X = saturated heterocycle having no OH, CO2M, SO2M, NRaRb groups; M = H, alkali metal, ammonium, Ra, Rb = H, Cl-4 aliphatic group; X1 = nonsubstituted saturated heterocycle; n = 0-2; R1 = H, alkyl; R2 = H, substituent without OH, CO2M, SO3M, and NR1Rb; R3 = Cl-8 alkyl; Q = C2-4 aliphatic group to form ring with S and N; Coup = coupler residue; Time = timing group). The compds. Coup-SR4 and Coup-SA1 are manufactured by reaction of Coup-SH with silylating agents, followed by reaction with unsatd. heterocyclic compds. The photog. material shows excellent desilvering characteristics at rapid development process and good storage stability.

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|--|------------|---------|
| COST IN U.S. DOLLARS | SINCE FILE | TOTAL |
| | ENTRY | SESSION |
| FULL ESTIMATED COST | 145.67 | 301.30 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE | TOTAL |
| | ENTRY | SESSION |
| CA SUBSCRIBER PRICE | -21.70 | -21.70 |

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